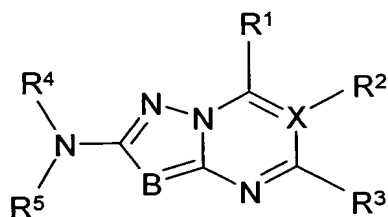


Patent Claims

1. Compounds of the formula I



in which

X denotes C or N,

B denotes N, CH or C-CN,

R¹ denotes H, A, OH, NH₂, -(CH₂)_m-Ar or -(CH₂)_m-Het²,

R² if X = N is absent or

if X = C denotes H, A, Hal, CN, -(CH₂)_p-Ar,

-(CH₂)_p-COOH, -(CH₂)_p-COOA, -(CH₂)_p-Het³,

-(CH₂)_p-NH₂, SO₂A, CHO or COA,

R³ denotes H, A, -S-A, -(CH₂)_p-Ar, -(CH₂)_p-Het, NH-(CH₂)_p-

Ar, NH-(CH₂)_p-Het, NH₂, NHA, NA₂, NH-alkylene-NH₂,

NH-alkylene-NHA, NH-alkylene-NA₂ or NA-alkylene-NA₂,

R⁴ denotes -(CH₂)_s-(Ar¹)_n-Y-R⁶,

R⁵ denotes H or CH₃,

R⁴ and R⁵ together also denote Het⁴-N $\begin{matrix} \diagup \text{CH}_2\text{-CH}_2\text{-} \\ \diagdown \text{CH}_2\text{-CH}_2\text{-} \end{matrix}$,

R⁶ denotes Het⁴, -(CH₂)_r-NH₂, -(CH₂)_r-NHA or -(CH₂)_r-NA₂,

Y denotes O, S, (CH₂)_q or NH,

Ar denotes phenyl, naphthyl or biphenyl, each of which is

unsubstituted or mono-, di- or trisubstituted by Hal, A,

OH, OA, NH₂, NO₂, CN, COOH, COOA, CONH₂,

NHCOA, NHCONH₂, NHSO₂A, CHO, COA, SO₂NH₂,

SO₂A, -CH₂-COOH or -OCH₂-COOH,

Ar¹ denotes phenylene or piperazinediyl,

5	Het	denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, NHA, NA ₂ , OA, COOA, CN, -(CH ₂) _p -Ar, -(CH ₂) _t -OH, -(CH ₂) _p -Het ¹ or carbonyl oxygen (=O),
10	Het ¹	denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono- or disubstituted by A or carbonyl oxygen (=O),
15	Het ²	denotes a monocyclic aromatic heterocycle having 1 to 3 N, O and/or S atoms, which may be unsubstituted or mono- or disubstituted by A,
	Het ³	denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N, O and/or S atoms, which may be unsubstituted or mono- or disubstituted by A,
20	Het ⁴	denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, CONH ₂ , CONHA, CONA ₂ or Ar ² ,
25	Ar ²	denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OH, OA, NH ₂ , NO ₂ , CN, COOH, COOA, CONH ₂ , NHCOA, NHCONH ₂ , NHSO ₂ A, CHO, COA, SO ₂ NH ₂ or SO ₂ A,
30	R ⁷ , R ⁸ , R ⁹ , R ¹⁰	each, independently of one another, denote H, A or -(CH ₂) _p -Ar,
	A	denotes alkyl having 1 to 10 C atoms, where, in addition, 1-7 H atoms may be replaced by F and/or chlorine,
	m	denotes 0, 1, 2, 3 or 4,
	n	denotes 0 or 1,
35	p	denotes 0, 1, 2, 3 or 4,
	q	denotes 0, 1, 2, 3 or 4,

r denotes 0, 1, 2, 3 or 4,

s denotes 0, 1, 2, 3 or 4,

Hal denotes F, Cl, Br or I,

and, if X = C,

5

R¹ and R² together may also denote -(CH₂)₄- or

R² and R³ together may also denote -(CHR⁷-CHR⁸-NR⁹-CHR¹⁰)-,

and, if Ar¹ denotes piperazinediyl, R⁶ may also denote H or alkyl having 1-6 C atoms,

10

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

15

2. Compounds according to Claim 1 in which

R¹ denotes A, OH, NH₂, -(CH₂)_m-Ar or -(CH₂)_m-Het²,

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, COOH or COOA,

m denotes 0,

20

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

25

3. Compounds according to Claim 1 or 2 in which

R⁴ denotes -(CH₂)_s-(Ar¹)_n-Y-R⁶,

s denotes 0 or 1,

n denotes 1,

Ar¹ denotes phenylene,

30

R⁶ denotes Het⁴,

Y denotes O,

Het⁴ denotes pyridyl which is unsubstituted or monosubstituted by CONHA,

or benzo-1,2,5-thiadiazol-5-yl,

35

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

4. Compounds according to one or more of Claims 1-3 in which
- 5 R^4 denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,
 s denotes 1,
 n denotes 0,
 Y denotes $(CH_2)_q$,
 q denotes 0,
 R^6 denotes Het⁴,
10 Het⁴ denotes pyridyl, benzo-1,2,5-thiadiazol-5-yl, thiazole,
 1,2,3-triazole, thienyl or furyl, each of which is unsubsti-
 tuted or monosubstituted by CONHA, A and/or Ar^2 ,
 Ar^2 denotes phenyl which is unsubstituted or mono-, di- or
15 trisubstituted by A,
 and pharmaceutically usable derivatives, solvates, tautomers, salts
 and stereoisomers thereof, including mixtures thereof in all ratios.
- 20 5. Compounds according to one or more of Claims 1-4 in which
 R^4 denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,
 s denotes 0,
 n denotes 0,
 Y denotes $(CH_2)_q$,
25 q denotes 0,
 R^6 denotes $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,
 r denotes 1, 2, 3 or 4,
 and pharmaceutically usable derivatives, solvates, tautomers, salts
30 and stereoisomers thereof, including mixtures thereof in all ratios.
6. Compounds according to one or more of Claims 1-5 in which
- 35 R^4 denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,
 s denotes 0,
 n denotes 1,
 Ar^1 denotes phenylene,

Y denotes O, $(CH_2)_q$ or NH,

R^6 denotes $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,

q denotes 0, 1, 2, 3 or 4,

r denotes 0, 1, 2, 3 or 4,

5

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

7. Compounds according to one or more of Claims 1-6 in which

10

R^4 denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 1, 2, 3 or 4,

n denotes 0,

Y denotes $(CH_2)_q$,

15

q denotes 0,

R^6 denotes Het⁴,

Het⁴ denotes a monocyclic saturated heterocycle having 1 to 2 N and/or O atoms, which may be unsubstituted or mono-or disubstituted by A,

20

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

8. Compounds according to one or more of Claims 1-7 in which

25

R^1 denotes A, OH, NH_2 , $-(CH_2)_m-Ar$,

m denotes 0,

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, COOH or COOA,

30

R^2 if X = N is absent or
if X = C denotes CN,

R^3 denotes H, A, -S-A, phenyl or $-(CH_2)_p-Het$,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

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9. Compounds according to one or more of Claims 1-8 in which

- R^1 denotes A, OH, NH_2 , $-(CH_2)_m-Ar$,
 m denotes 0,
 Ar denotes phenyl which is unsubstituted or mono-, di- or
 5 R^2 if $X = N$ is absent or
 if $X = C$ denotes CN,
 R^3 denotes H, A, -S-A, phenyl or $-(CH_2)_p-Het$,
 R^4 denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,
 10 s denotes 0,
 n denotes 0,
 Y denotes $(CH_2)_q$,
 q denotes 0,
 15 R^6 denotes $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,
 r denotes 1, 2, 3 or 4,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

- 20 10. Compounds according to one or more of Claims 1-9 in which
 R^4 denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,
 s denotes 0,
 n denotes 1,
 25 Y denotes $(CH_2)_q$,
 q denotes 0,
 R^6 denotes $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,
 r denotes 0,
 30 and pharmaceutically usable derivatives, solvates, tautomers, salts
 and stereoisomers thereof, including mixtures thereof in all ratios.

11. Compounds according to one or more of Claims 1-10 in which
 R^4 denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,
 35 s denotes 0,
 n denotes 0 or 1,

Y denotes $(CH_2)_q$,

q denotes 0,

R^6 denotes $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,

r denotes 0, 1, 2, 3 or 4,

5 and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

12. Compounds according to one or more of Claims 1-11 in which

10 R^4 denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 0,

n denotes 0 or 1,

Y denotes $(CH_2)_q$,

15 R^6 denotes $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,

Ar^1 denotes phenylene,

Y denotes O, $(CH_2)_q$ or NH,

q denotes 0, 1, 2, 3 or 4,

r denotes 0, 1, 2, 3 or 4,

20 and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

13. Compounds according to one or more of Claims 1-12 in which

25 R^1 denotes A, OH, NH_2 , $-(CH_2)_m-Ar$,

m denotes 0,

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, COOH or COOA,

30 R^2 if X = N is absent or

if X = C denotes CN,

R^3 denotes H, A, -S-A, phenyl or $-(CH_2)_p-Het$,

R^4 denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 0,

35 n denotes 0 or 1,

Y denotes $(CH_2)_q$,

R^6 denotes $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,

Ar^1 denotes phenylene,

Y denotes O , $(CH_2)_q$ or NH ,

q denotes 0, 1, 2, 3 or 4,

r denotes 0, 1, 2, 3 or 4,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

14. Compounds according to one or more of Claims 1-13 in which

R^1 denotes A , OH , NH_2 , $-(CH_2)_m-Ar$,

m denotes 0,

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal , A , OA , $COOH$ or $COOA$,

R^2 if $X = N$ is absent or
if $X = C$ denotes CN ,

R^3 denotes H , A , $-S-A$, phenyl or $-(CH_2)_p-Het$,

R^4 denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 0,

n denotes 1,

Ar^1 denotes phenylene,

R^6 denotes Het^4 ,

Y denotes O ,

Het^4 denotes pyridyl which is unsubstituted or monosubstituted by $CONHA$,
or benzo-1,2,5-thiadiazol-5-yl,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

15. Compounds according to one or more of Claims 1-14 in which

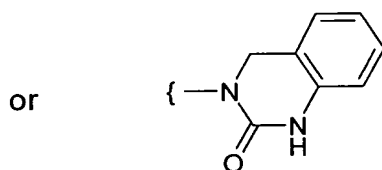
R^4 denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

s denotes 0 or 1,

n denotes 0 or 1,

- 5
 10
 15
 20
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 30
- Y denotes O or (CH₂)_q,
 q denotes 0,
 R⁶ denotes Het⁴,
 Het⁴ denotes pyridyl, benzo-1,2,5-thiadiazol-5-yl, thiazole,
 1,2,3-triazole, thienyl or furyl, each of which is unsubstituted or monosubstituted by CONHA, A and/or Ar²,
 Ar² denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A,
 Ar¹ denotes phenylene,
 and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

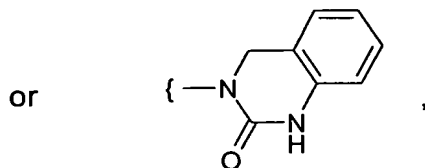
- 15
 20
 25
16. Compounds according to one or more of Claims 1-15 in which
 Het denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N and/or O atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, NHA, NA₂, COOA, benzyl, -(CH₂)_t-OH or -(CH₂)_p-Het¹,
 Het¹ denotes an unsubstituted monocyclic saturated or aromatic heterocycle having 1 to 3 N and/or O atoms,



- 30
- and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

- 35
17. Compounds according to one or more of Claims 1-16 in which
 Het denotes piperazinyl, piperidinyl, morpholinyl, pyrrolidinyl, pyridyl or furyl, which are unsubstituted or may be mono-, di- or trisubstituted by Hal, A, NHA, NA₂, COOA, benzyl, -(CH₂)_t-OH or -(CH₂)_p-Het¹,

Het¹ denotes morpholinyl, pyrrolidinyl, pyridyl



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and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

- 10 18. Compounds according to one or more of Claims 1-17 in which
- R⁴ denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,
- s denotes 0 or 1,
- n denotes 0 or 1,
- 15 Y denotes O, $(CH_2)_q$ or NH,
- Ar¹ denotes phenylene,
- q denotes 0, 1, 2, 3 or 4,
- R⁶ denotes Het⁴, $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,
- r denotes 0, 1, 2, 3 or 4,
- 20 Het⁴ denotes pyridyl, benzo-1,2,5-thiadiazol-5-yl, thiazole, 1,2,3-triazole, thienyl or furyl, each of which is unsubstituted or monosubstituted by CONHA, A and/or Ar²,
- Ar² denotes phenyl which is unsubstituted or mono-, di- or
- 25 trisubstituted by A,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

- 30 19. Compounds according to one or more of Claims 1-18 in which
- R¹ denotes A, OH, NH₂, $-(CH_2)_m-Ar$,
- m denotes 0,
- Ar denotes phenyl which is unsubstituted or mono-, di- or
- trisubstituted by Hal, A, OA, COOH or COOA,
- 35 R² if X = N is absent or

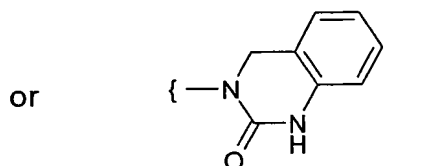
if X = C

denotes CN,

R^3 denotes H, A, -S-A, phenyl or $-(CH_2)_p$ -Het,

Het denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N and/or O atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, NHA, NA_2 , COOA, benzyl, $-(CH_2)_t$ -OH or $-(CH_2)_p$ -Het¹,

Het¹ denotes an unsubstituted monocyclic saturated or aromatic heterocycle having 1 to 2 N and/or O atoms,



and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

20. Compounds according to one or more of Claims 1-19 in which

R^4 denotes $-(CH_2)_s$ -(Ar¹)_n-Y-R⁶,

s denotes 0, 1, 2, 3 or 4,

n denotes 0 or 1,

Y denotes O or $(CH_2)_q$,

Ar¹ denotes phenylene,

q denotes 0,

R^6 denotes Het⁴, $-(CH_2)_r$ -NH₂, $-(CH_2)_r$ -NHA or $-(CH_2)_r$ -NA₂,

r denotes 0, 1, 2, 3 or 4,

Het⁴ denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by A, CONH₂, CONHA, CONA₂ or Ar²,

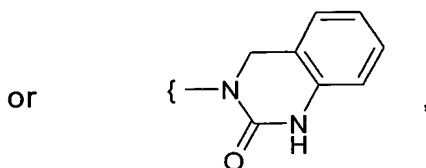
Ar² denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

- 5 21. Compounds according to one or more of Claims 1-20 in which
Het⁴ denotes pyridyl, benzo-1,2,5-thiadiazol-5-yl, piperazine,
thiazole or imidazole, each of which is unsubstituted or
monosubstituted by CONHA, A and/or Ar²,
and pharmaceutically usable derivatives, solvates, tautomers, salts
10 and stereoisomers thereof, including mixtures thereof in all ratios.

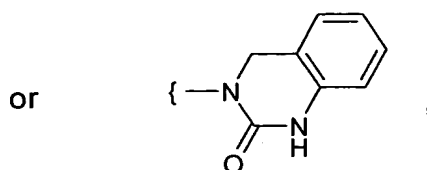
22. Compounds according to one or more of Claims 1-21 in which
R⁴ denotes 4-(pyridin-4-yloxy)phenyl, 4-(pyridin-4-yloxy)-
15 phenylmethyl or 4-(benzo-1,2,5-thiadiazol-5-yloxy)-
phenyl, where the pyridine radical may be substituted by
CONHCH₃,
and pharmaceutically usable derivatives, solvates, tautomers, salts
and stereoisomers thereof, including mixtures thereof in all ratios.
20

23. Compounds according to one or more of Claims 1-22 in which
Het¹ denotes an unsubstituted monocyclic saturated or aro-
25 matic heterocycle having 1 to 2 N and/or O atoms,



- 30 and pharmaceutically usable derivatives, solvates, tautomers, salts
and stereoisomers thereof, including mixtures thereof in all ratios.

24. Compounds according to one or more of Claims 1-23 in which
35 Het¹ denotes morpholinyl, pyrrolidinyl, piperidinyl, pyridyl



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and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

10

25. Compounds according to one or more of Claims 1-24 in which
 Het² denotes an unsubstituted monocyclic aromatic hetero-
 cycle having 1-2 N, O and/or S atoms,
 and pharmaceutically usable derivatives, solvates, tautomers, salts
 and stereoisomers thereof, including mixtures thereof in all ratios.

15

26. Compounds according to one or more of Claims 1-25 in which
 R¹ denotes A, OH, NH₂, -(CH₂)_m-Ar or -(CH₂)_m-Het²,
 m denotes 0,
 Ar denotes phenyl which is unsubstituted or mono-, di- or
 trisubstituted by Hal, A, OA, COOH or COOA,
 R² if X = N is absent or
 if X = C
 denotes H, CN, COOA or phenyl,
 R³ denotes H, A, -S-A, phenyl, NH-benzyl, -(CH₂)_p-Het,
 NH-(CH₂)_p-Het, NA₂, NH-alkylene-NA₂ or
 NA-alkylene-NA₂,

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and pharmaceutically usable derivatives, solvates, tautomers, salts
 and stereoisomers thereof, including mixtures thereof in all ratios.

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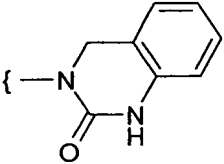
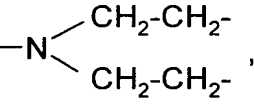
27. Compounds according to one or more of Claims 1-26 in which
 R² if X = N is absent or
 if X = C
 denotes H, CN, (CH₂)_oAr'', (CH₂)_oCOOA or SO₂A,

35

Ar'' denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal or OA,
 o denotes 0 or 1,
 and pharmaceutically usable derivatives, solvates, tautomers, salts
 5 and stereoisomers thereof, including mixtures thereof in all ratios.

28. Compounds according to one or more of Claims 1-27 in which
 R¹ denotes A, OH, NH₂, -(CH₂)_m-Ar' or -(CH₂)_m-Het²,
 10 Ar' denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, OA, A or COOA,
 m denotes 0,
 Het² denotes thienyl, furyl, imidazolyl, pyrrolyl, thiazolyl or
 15 pyridyl,
 and pharmaceutically usable derivatives, solvates, tautomers, salts
 and stereoisomers thereof, including mixtures thereof in all ratios.

29. Compounds according to one or more of Claims 1-28 in which
 20 X denotes C or N,
 B denotes N, CH or C-CN,
 R¹ denotes A, OH, NH₂, -(CH₂)_m-Ar' or -(CH₂)_m-Het²,
 Ar' denotes phenyl which is unsubstituted or mono-, di- or
 25 trisubstituted by Hal, OA, A or COOA,
 m denotes 0,
 Het² denotes thienyl, furyl, imidazolyl, pyrrolyl, thiazolyl or
 pyridyl,
 30 R² if X = N is absent or
 if X = C
 denotes H, CN, (CH₂)_oAr'', (CH₂)_oCOOA or SO₂A,
 Ar'' denotes phenyl which is unsubstituted or mono-, di- or
 35 trisubstituted by Hal or OA,
 o denotes 0 or 1,

	R^3	denotes H, A, -S-A, phenyl, NH-benzyl, $-(CH_2)_p$ -Het, $NH-(CH_2)_p$ -Het, NA_2 , NH-alkylene- NA_2 or NA-alkylene- NA_2 ,
5	Het	denotes piperazinyl, piperidinyl, morpholinyl, pyrrolidinyl, pyridyl or furyl, which are unsubstituted or may be mono-, di- or trisubstituted by Hal, A, NHA, NA_2 , COOA, benzyl, $-(CH_2)_t$ -OH or $-(CH_2)_p$ -Het ¹ ,
10	Het ¹	denotes morpholinyl, pyrrolidinyl, pyridyl
	or	
15	R^4	denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,
	Y	denotes O or $(CH_2)_q$,
	R^5	denotes H or CH_3 ,
20	R^4 and R^5	together also denote Het ⁴  ,
25	R^6	denotes Het ⁴ , $-(CH_2)_r$ -NH ₂ , $-(CH_2)_r$ -NHA or $-(CH_2)_r$ - NA_2 ,
	Het ⁴	denotes pyridyl, benzo-1,2,5-thiadiazol-5-yl, piperazine, thiazole or imidazole, each of which is unsubstituted or monosubstituted by CONHA, A and/or Ar^2 ,
30	Ar^1	denotes phenylene or piperazinediyl,
	Ar^2	denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A,
	R^7, R^8, R^9, R^{10}	each, independently of one another, denote H, A or $-(CH_2)_p$ -Ar,
	A	denotes alkyl having 1 to 10 C atoms, where, in addition, 1-7 H atoms may be replaced by F and/or chlorine,
35	n	denotes 0 or 1,
	p	denotes 0, 1, 2, 3 or 4,
	q	denotes 0, 1, 2, 3 or 4,

r denotes 0, 1, 2, 3 or 4,
 s denotes 0, 1, 2, 3 or 4,
 t denotes 1, 2, 3 or 4,
 Hal denotes F, Cl, Br or I,

5

and, if X = C,

R¹ and R² together may also denote -(CH₂)₄- or
 R² and R³ together may also denote -(CHR⁷-NR⁸-CHR⁹-
 CHR¹⁰)-,

10

and, if Ar¹ denotes piperazinediyl, R⁶ may also denote H or alkyl having 1-6 C atoms,

and pharmaceutically usable derivatives, solvates, tautomers, salts
 and stereoisomers thereof, including mixtures thereof in all ratios.

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30. Compounds according to one or more of Claims 1-29 in which

X denotes C or N,
 B denotes N, CH or C-CN,
 R¹ denotes A, OH, NH₂, -(CH₂)_m-Ar' or -(CH₂)_m-Het²,
 Ar' denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, OA, A or COOA,
 m denotes 0,
 Het² denotes an unsubstituted monocyclic aromatic heterocycle having 1-2 N, O and/or S atoms,
 R² if X = N is absent or
 if X = C
 denotes H, CN, (CH₂)_oAr'', (CH₂)_oCOOA or SO₂A,
 Ar'' denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal or OA,
 o denotes 0 or 1,
 R³ denotes H, A, -S-A, phenyl, NH-benzyl, -(CH₂)_p-Het,
 NH-(CH₂)_p-Het, NA₂, NH-alkylene-NA₂ or
 NA-alkylene-NA₂,

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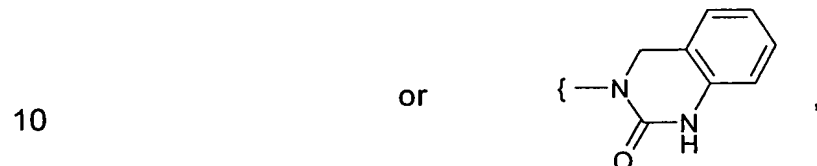
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5
Het denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N and/or O atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, NHA, NA₂, COOA, benzyl, -(CH₂)_t-OH or -(CH₂)_p-Het¹,

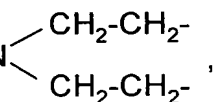
Het¹ denotes morpholinyl, pyrrolidinyl, pyridyl



R⁴ denotes -(CH₂)_s-(Ar¹)_n-Y-R⁶,

Y denotes O or (CH₂)_q,

15
R⁵ denotes H or CH₃,

R⁴ and R⁵ together also denote Het⁴-N  ,

20
R⁶ denotes Het⁴, -(CH₂)_r-NH₂, -(CH₂)_r-NHA or -(CH₂)_r-NA₂,

Het⁴ denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by A, CONH₂, CONHA, CONA₂ or Ar²,

25
Ar¹ denotes phenylene or piperazinediyl,

Ar² denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A,

R⁷, R⁸, R⁹, R¹⁰ each, independently of one another, denote H, A or -(CH₂)_p-Ar,

30
A denotes alkyl having 1 to 10 C atoms, where, in addition, 1-7 H atoms may be replaced by F and/or chlorine,

n denotes 0 or 1,

p denotes 0, 1, 2, 3 or 4,

35
q denotes 0, 1, 2, 3 or 4,

r denotes 0, 1, 2, 3 or 4,

s denotes 0, 1, 2, 3 or 4,

t denotes 1, 2, 3 or 4,

Hal denotes F, Cl, Br or I,

5

and, if X = C,

R^1 and R^2 together may also denote $-(CH_2)_4-$ or

R^2 and R^3 together may also denote $-(CHR^7-NR^8-CHR^9-CHR^{10})-$,

10

and, if Ar^1 denotes piperazinediyl, R^6 may also denote H or alkyl having 1-6 C atoms,

and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

15

31. Compounds according to one or more of Claims 1-30 in which

X denotes N,

B denotes N, CH or C-CN,

20

R^1 denotes NH_2 ,

R^2 is absent,

R^3 denotes H, A, -S-A, phenyl, NH-benzyl, $-(CH_2)_p$ -Het, $NH-(CH_2)_p$ -Het, NA_2 , NH-alkylene- NA_2 or NA-alkylene- NA_2 ,

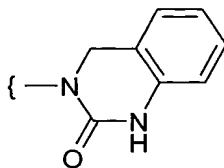
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Het denotes piperazinyl, piperidinyl, morpholinyl, pyrrolidinyl, pyridyl or furyl, which are unsubstituted or may be mono-, di- or trisubstituted by Hal, A, NHA, NA_2 , COOA, benzyl, $-(CH_2)_t$ -OH or $-(CH_2)_p$ -Het¹,

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Het¹ denotes morpholinyl, pyrrolidinyl, pyridyl

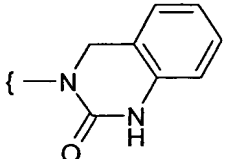
or



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R^4 denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,

- Y denotes O or (CH₂)_q,
 R⁵ denotes H or CH₃,
 5 R⁴ and R⁵ together also denote Het⁴—N $\begin{matrix} \diagup \text{CH}_2\text{-CH}_2\text{-} \\ \diagdown \text{CH}_2\text{-CH}_2\text{-} \end{matrix}$,
 R⁶ denotes Het⁴, -(CH₂)_rNH₂, -(CH₂)_rNHA or -(CH₂)_rNA₂,
 Het⁴ denotes pyridyl, benzo-1,2,5-thiadiazol-5-yl, piperazine,
 thiazole or imidazole, each of which is unsubstituted or
 10 monosubstituted by CONHA, A and/or Ar²,
 Ar¹ denotes phenylene or piperazinediyl,
 Ar² denotes phenyl which is unsubstituted or mono-, di- or
 trisubstituted by A,
 15 A denotes alkyl having 1 to 10 C atoms, where, in addition,
 1-7 H atoms may be replaced by F and/or chlorine,
 n denotes 0 or 1,
 p denotes 0, 1, 2, 3 or 4,
 q denotes 0, 1, 2, 3 or 4,
 20 r denotes 0, 1, 2, 3 or 4,
 s denotes 0, 1, 2, 3 or 4,
 t denotes 1, 2, 3 or 4,
 Hal denotes F, Cl, Br or I,
 25 and, if Ar¹ denotes piperazinediyl, R⁶ may also denote H or alkyl hav-
 ing 1-6 C atoms,
 and pharmaceutically usable derivatives, solvates, tautomers, salts
 and stereoisomers thereof, including mixtures thereof in all ratios.
 30 32. Compounds according to one or more of Claims 1-31 in which
 X denotes N,
 B denotes N, CH or C-CN,
 R¹ denotes NH₂,
 35 R² is absent,

	R^3	denotes H, A, -S-A, phenyl, NH-benzyl, $-(CH_2)_p$ -Het, NH- $(CH_2)_p$ -Het, NA_2 , NH-alkylene- NA_2 or NA-alkylene- NA_2 ,
5	Het	denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N and/or O atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, NHA, NA_2 , COOA, benzyl, $-(CH_2)_t$ -OH or $-(CH_2)_p$ -Het ¹ ,
10	Het ¹	denotes morpholinyl, pyrrolidinyl, pyridyl
	or	
15	R^4	denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,
	Y	denotes O or $(CH_2)_q$,
	R^5	denotes H or CH_3 ,
20	R^4 and R^5	together also denote Het ⁴ -N <div style="display: inline-block; vertical-align: middle;"> $\begin{array}{l} \diagup CH_2-CH_2- \\ \diagdown CH_2-CH_2- \end{array}$ </div>
	R^6	denotes Het ⁴ , $-(CH_2)_r$ -NH ₂ , $-(CH_2)_r$ -NHA or $-(CH_2)_r$ - NA_2 ,
25	Het ⁴	denotes a monocyclic saturated or aromatic heterocycle having 1 to 3 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by A, CONH ₂ , CONHA, $CONA_2$ or Ar^2 ,
	Ar^1	denotes phenylene or piperazinediyl,
30	Ar^2	denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A,
	A	denotes alkyl having 1 to 10 C atoms, where, in addition, 1-7 H atoms may be replaced by F and/or chlorine,
	n	denotes 0 or 1,
35	p	denotes 0, 1, 2, 3 or 4,
	q	denotes 0, 1, 2, 3 or 4,

r denotes 0, 1, 2, 3 or 4,

s denotes 0, 1, 2, 3 or 4,

t denotes 1, 2, 3 or 4,

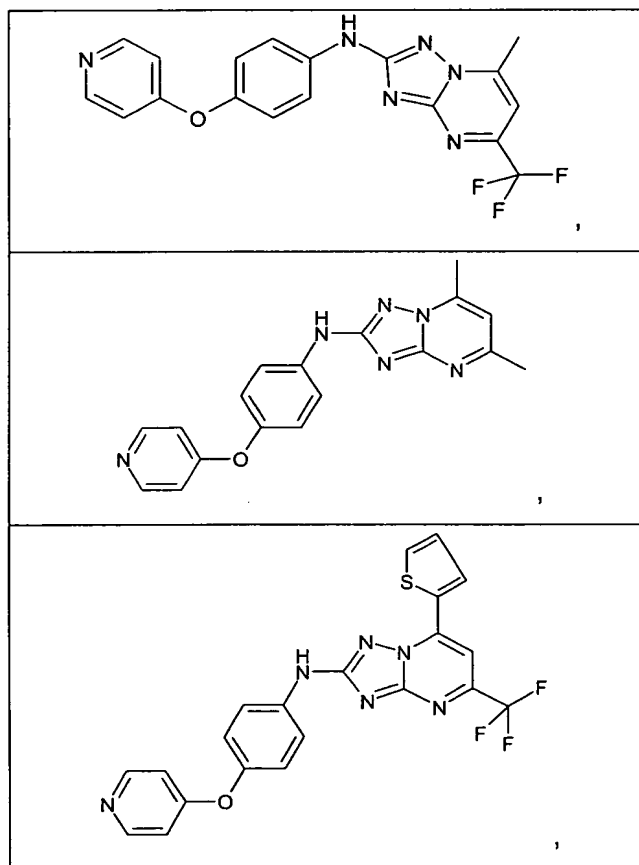
Hal denotes F, Cl, Br or I,

and, if Ar¹ denotes piperazinediyl, R⁶ may also denote H or alkyl having 1-6 C atoms,

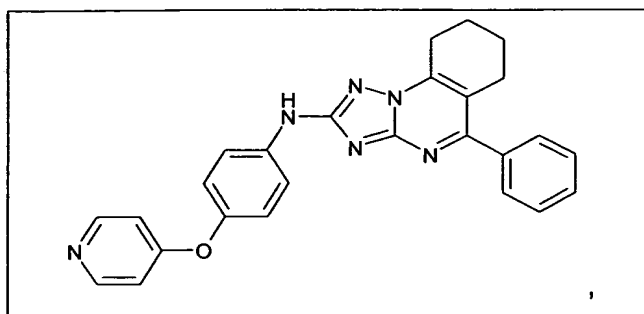
and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

33. Compounds according to Claim 1, selected from the group

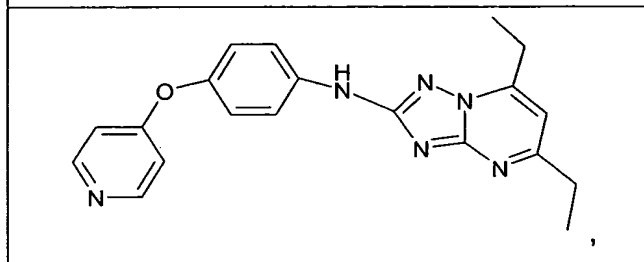
(7-phenyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[4-(pyridin-4-yloxy)phenyl]amine,



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(7-methyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[3-(2-(N-methylaminocarbonyl)pyridin-4-yloxy)phenyl]amine,

(7-phenyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[3-(2-(N-methylaminocarbonyl)pyridin-4-yloxy)phenyl]amine,

20

(7-methyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[3-(2-(N-methylaminocarbonyl)pyridin-4-yloxy)phenyl]amine,

(7-phenyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[4-(2-(N-methylaminocarbonyl)pyridin-4-yloxy)phenyl]amine,

25

(5,7-bistrifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[4-(2-(N-methylaminocarbonyl)pyridin-4-yloxy)phenyl]amine,

(5,7-dimethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[4-(benzo-1,2,5-thiadiazol-5-yloxy)phenyl]amine,

30

(7-methyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[4-(benzo-1,2,5-thiadiazol-5-yloxy)phenyl]amine,

(7-phenyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[4-(benzo-1,2,5-thiadiazol-5-yloxy)phenyl]amine,

(2-phenylthiazol-4-ylmethyl)-(7-phenyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)amine,

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(2-phenylthiazol-4-ylmethyl)-(7-methyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)amine,

(7-phenyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)-[4-(pyridin-4-yloxy)benzyl]amine,

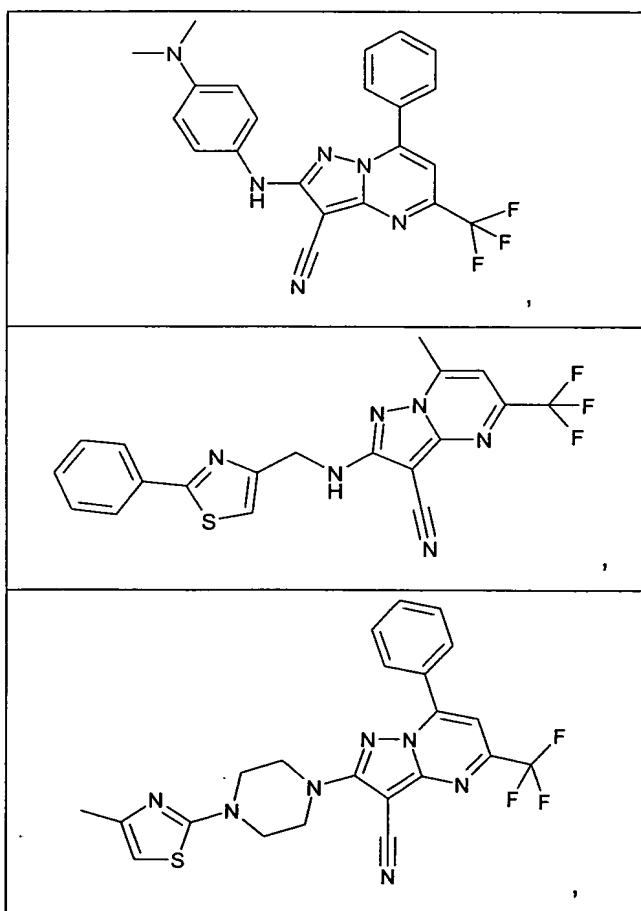
(3-dimethylaminopropyl)-(7-methyl-5-trifluoromethyl-1,2,4-triazolo[1,5-a]pyrimidin-2-yl)amine,

7-phenyl-2-[4-(pyridin-4-yloxy)phenylamino]-5-trifluoromethyl-pyrazolo[1,5-a]pyrimidine-3-carbonitrile,

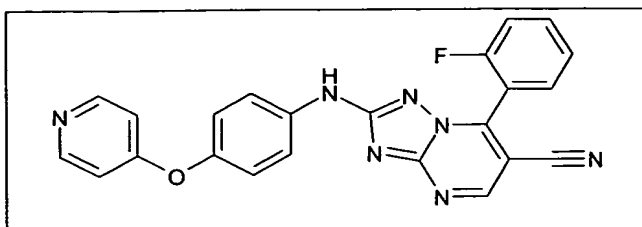
7-methyl-2-[4-(pyridin-4-yloxy)phenylamino]-5-trifluoromethyl-pyrazolo[1,5-a]pyrimidine-3-carbonitrile,

5,7-dimethyl-2-[4-(pyridin-4-yloxy)phenylamino]pyrazolo[1,5-a]pyrimidine-3-carbonitrile,

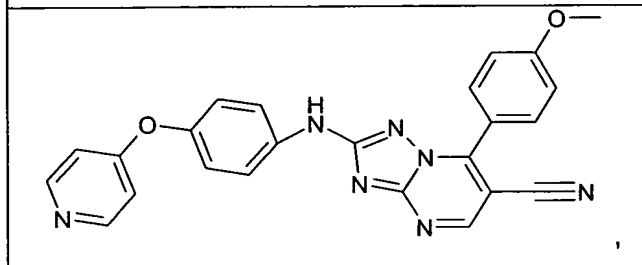
7-phenyl-2-[4-(pyridin-4-yloxy)phenylmethylamino]-5-trifluoromethylpyrazolo[1,5-a]pyrimidine-3-carbonitrile,



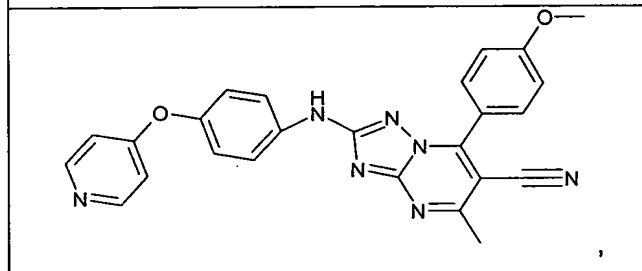
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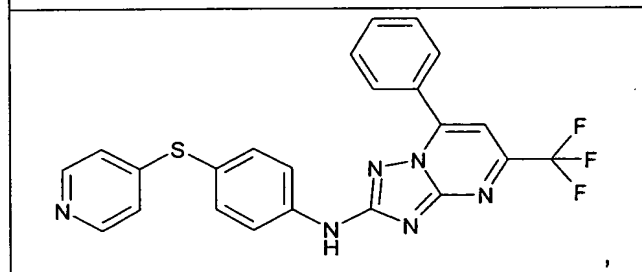
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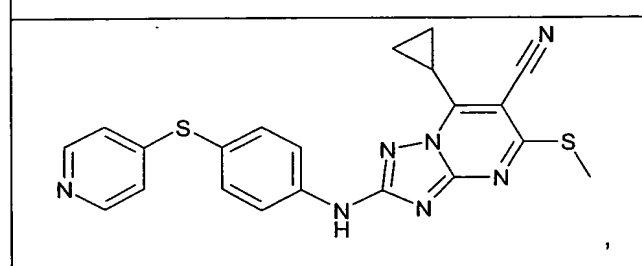
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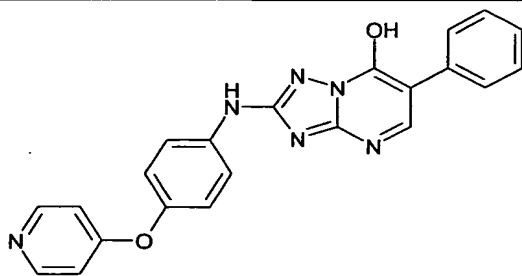


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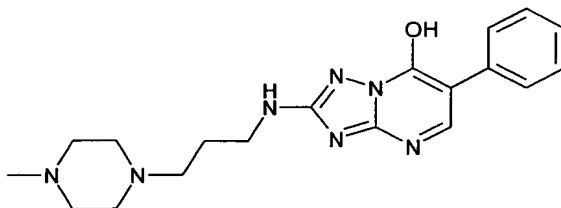
6-benzyl-2-[3-(4-methylpiperazin-1-yl)propylamino]-5,6,7,8-tetrahydro-1,3,3a,6,9-pentaazacyclopenta[b]naphthalen-4-ol,

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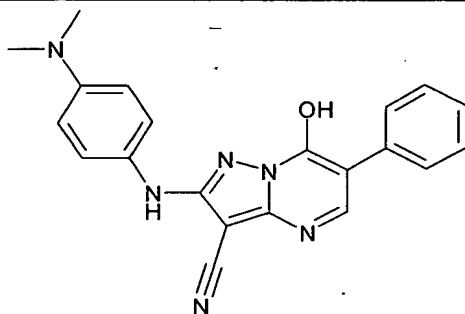
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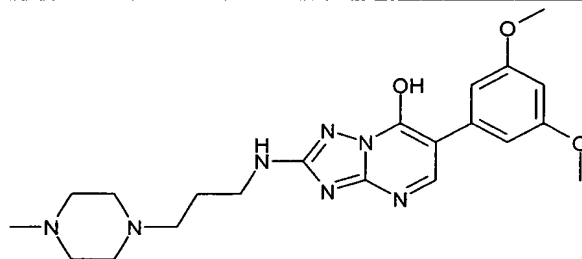


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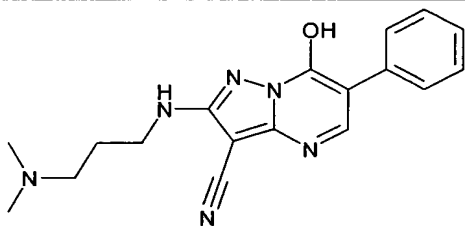


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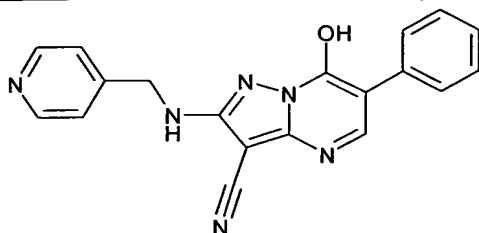


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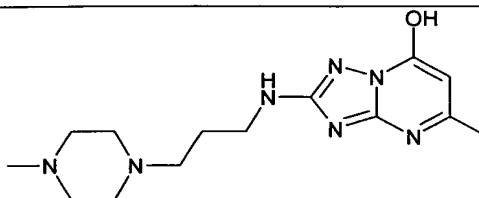


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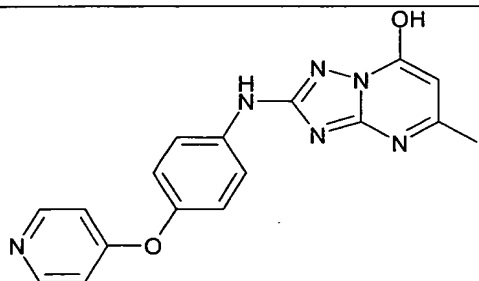
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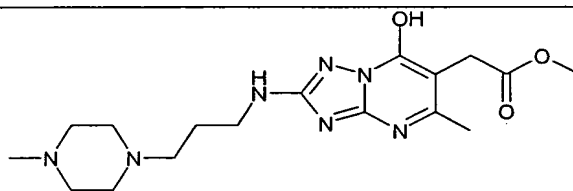
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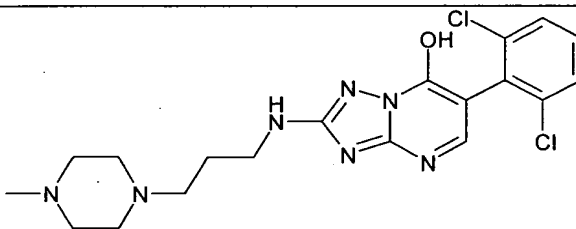
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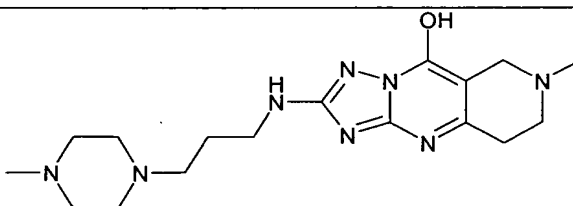
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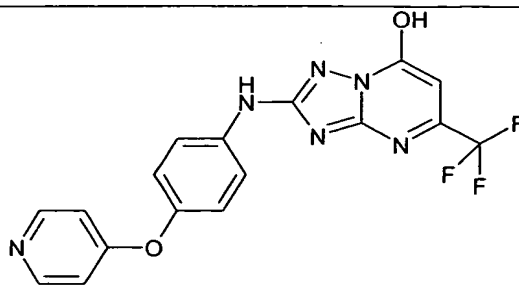


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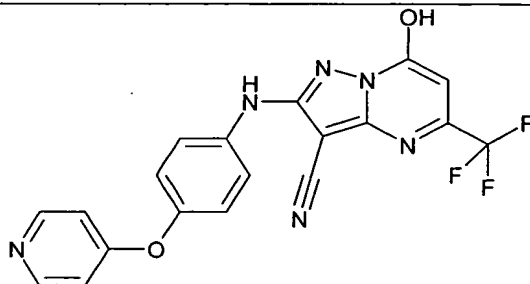


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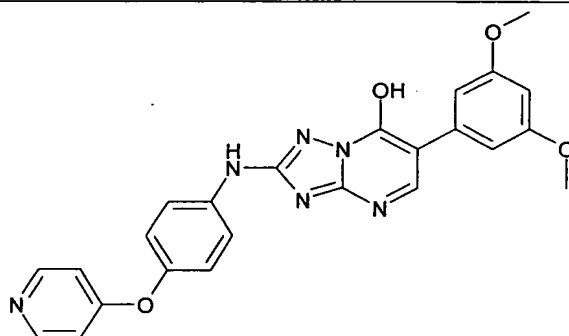
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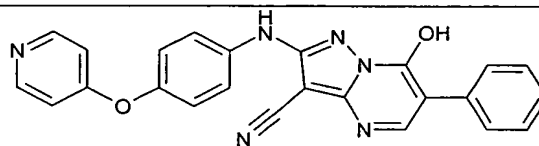


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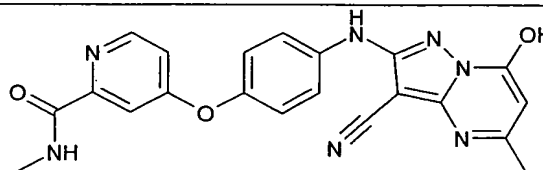


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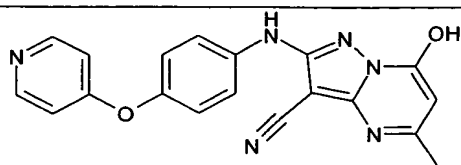
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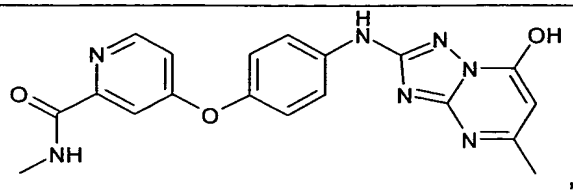
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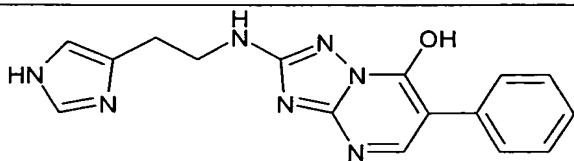
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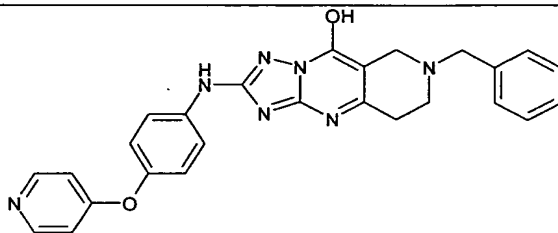
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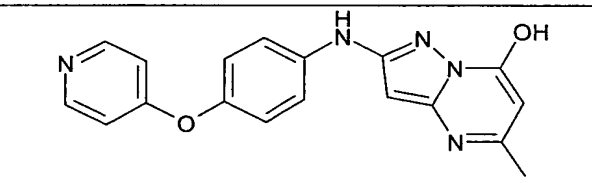
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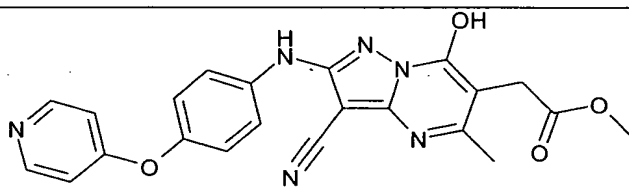
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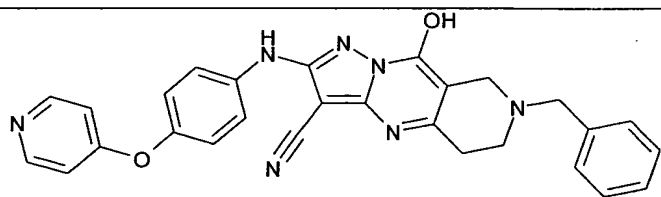
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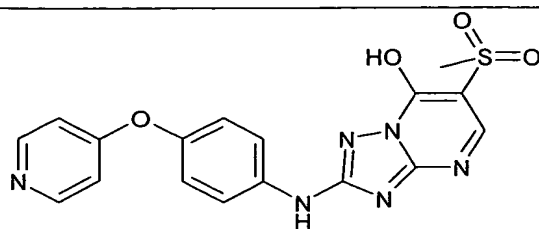


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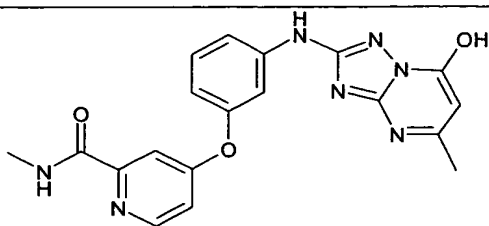


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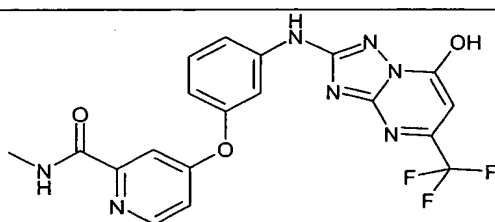
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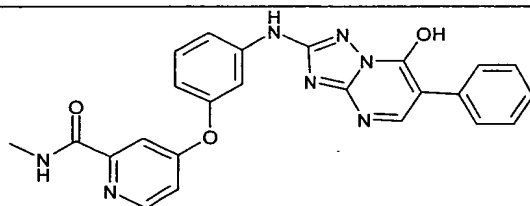
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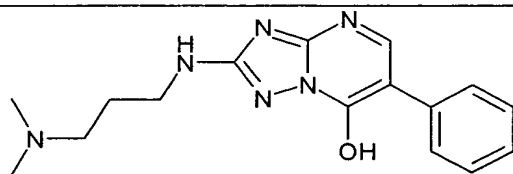
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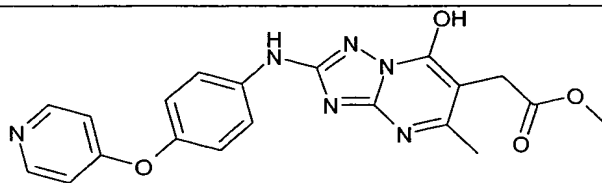
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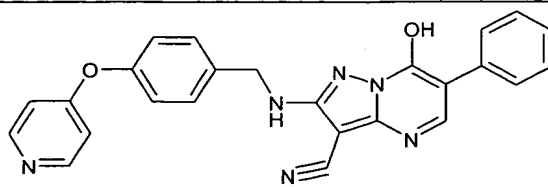
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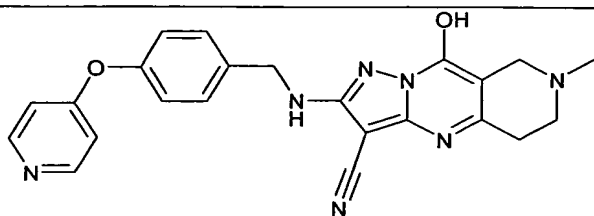
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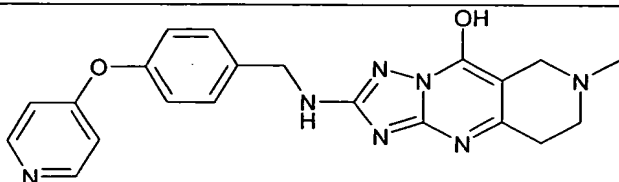
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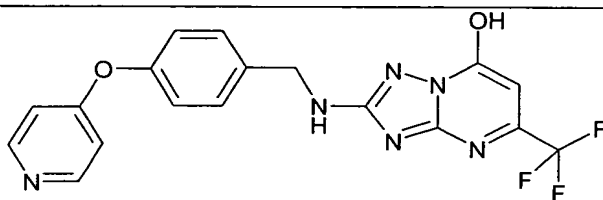
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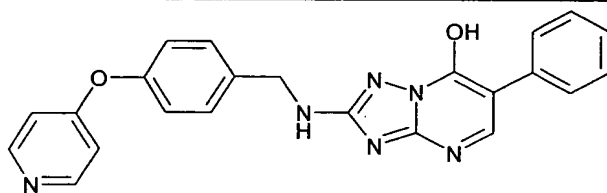
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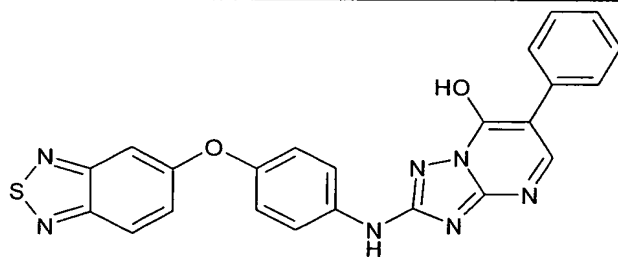
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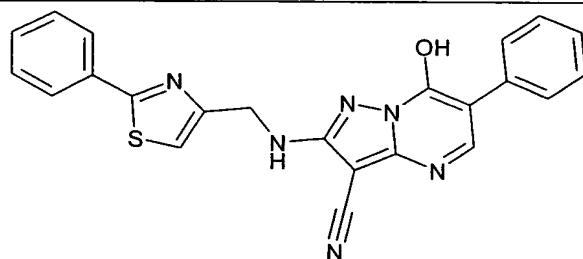
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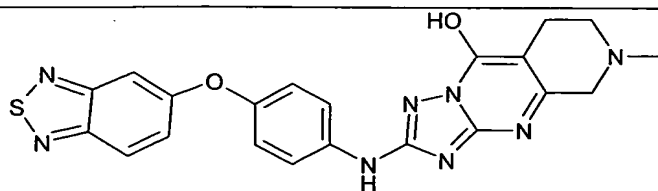


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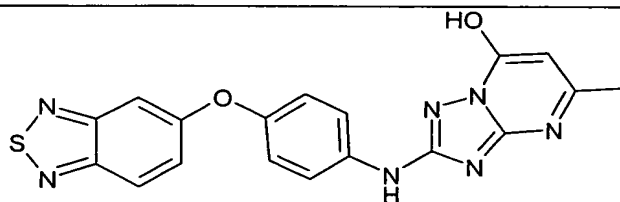


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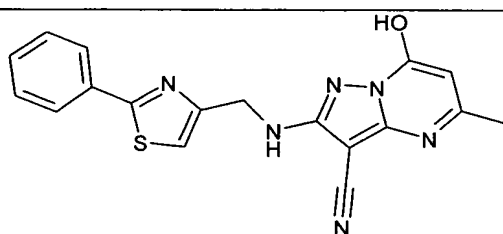
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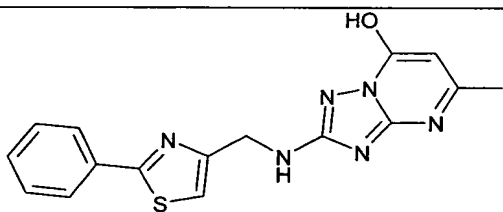
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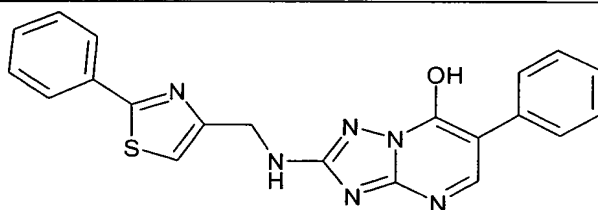
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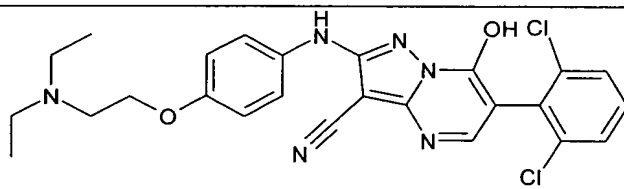
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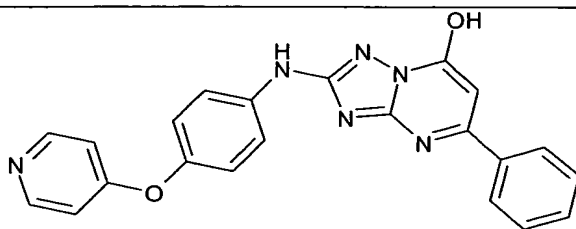


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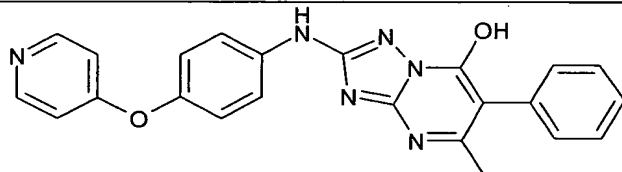


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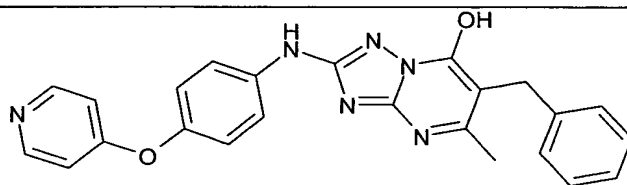
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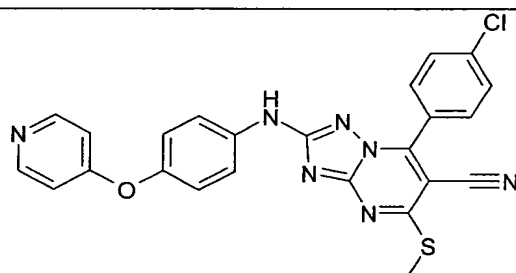
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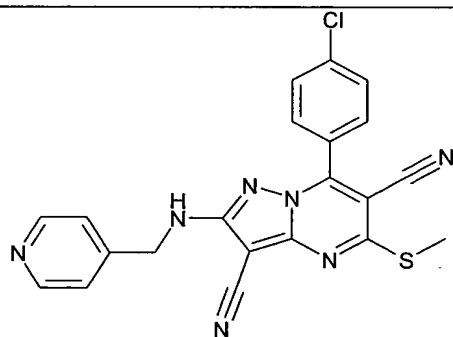
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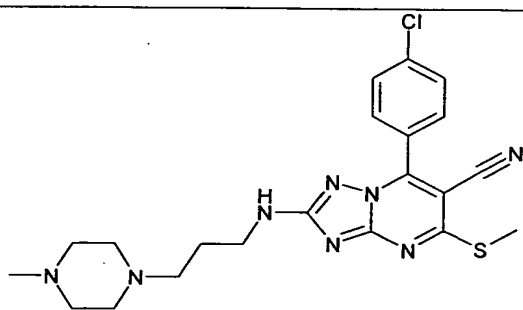
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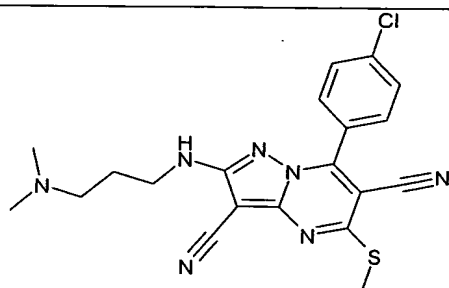
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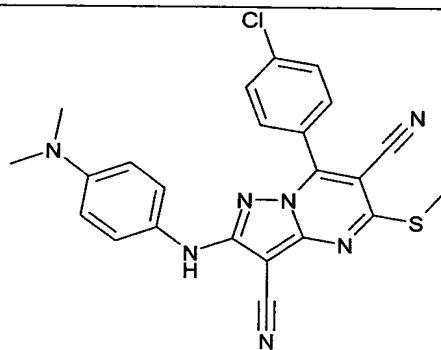
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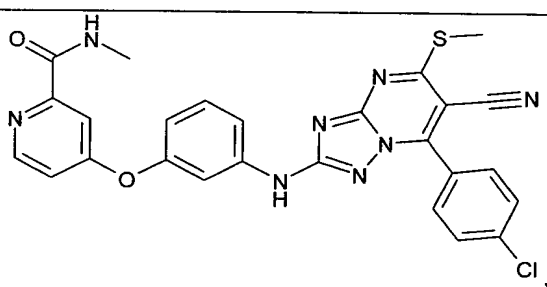
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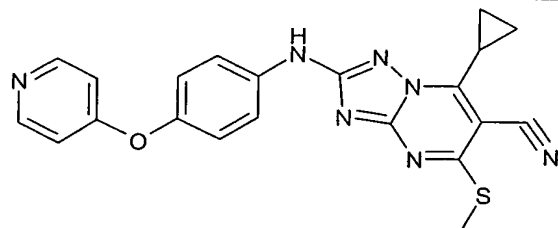


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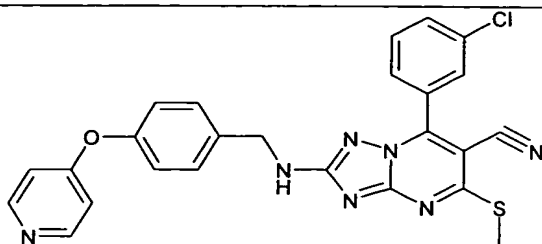
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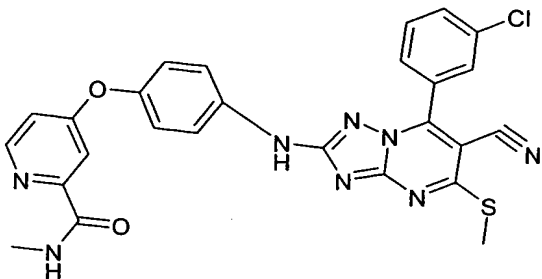


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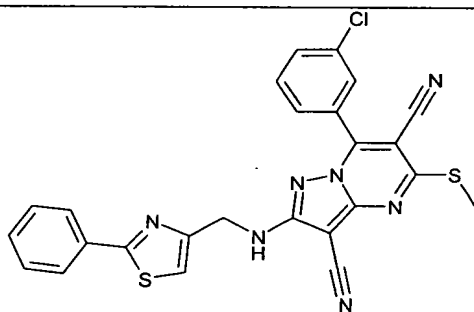
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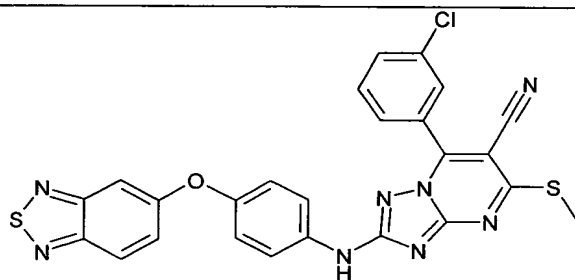


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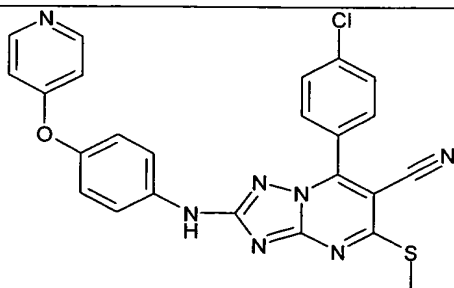


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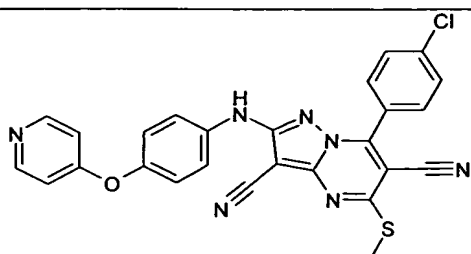


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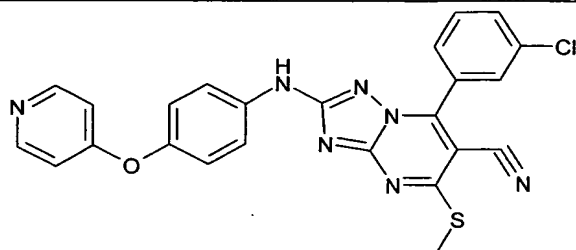


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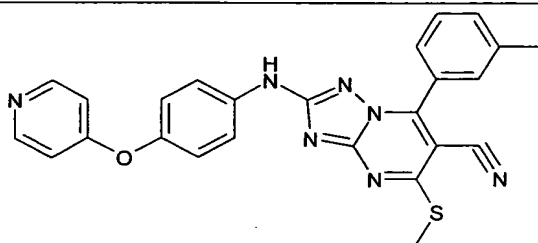
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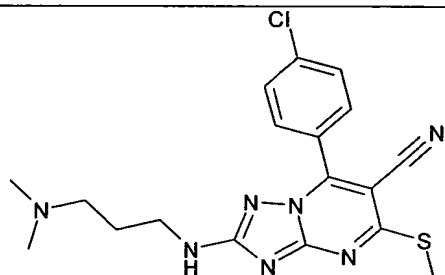
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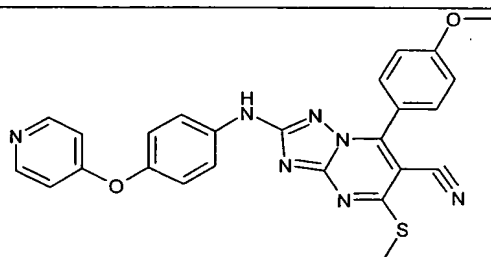


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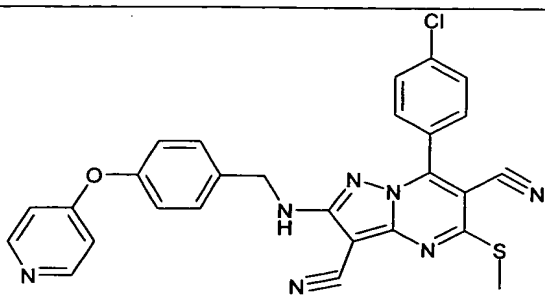
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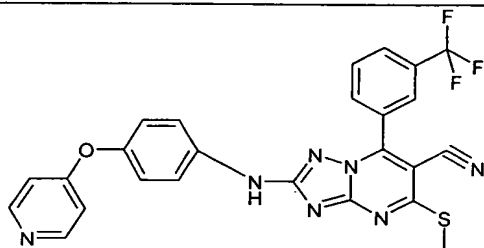


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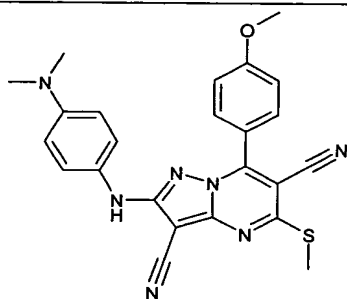
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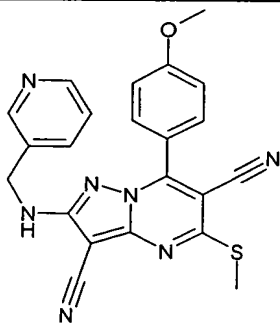


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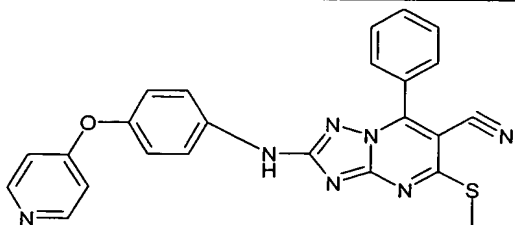


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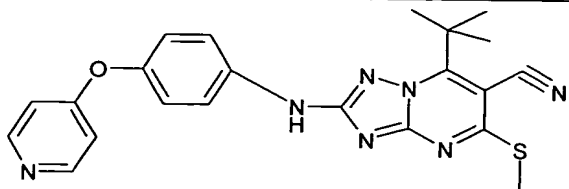


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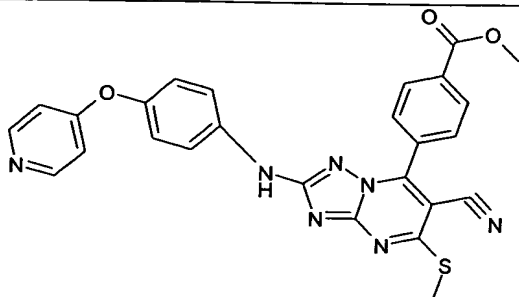


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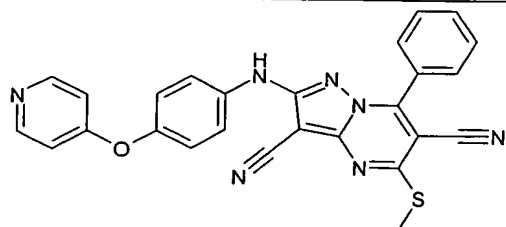
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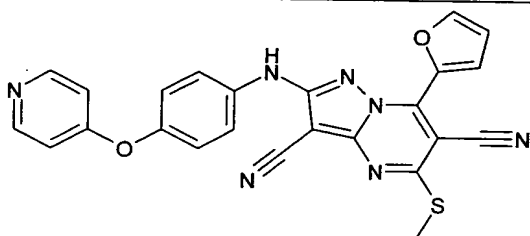
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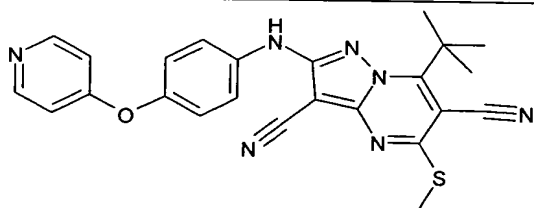
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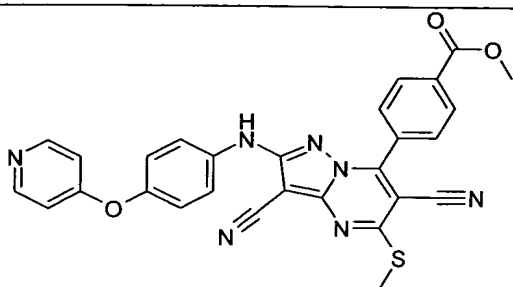
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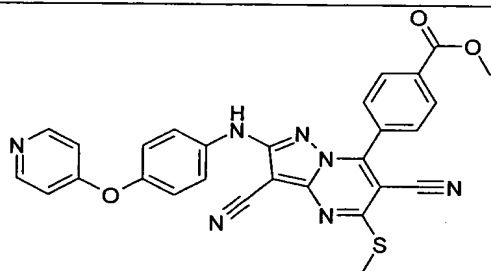
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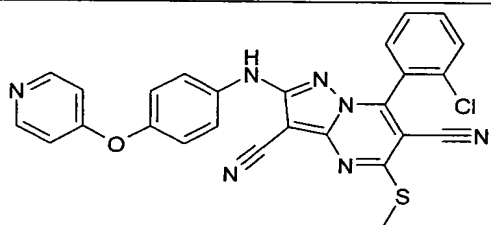
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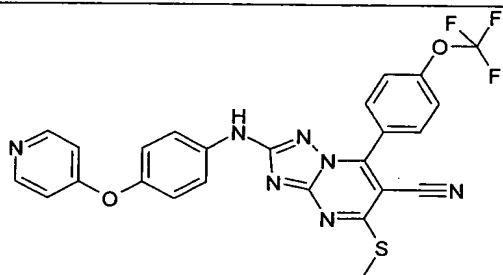
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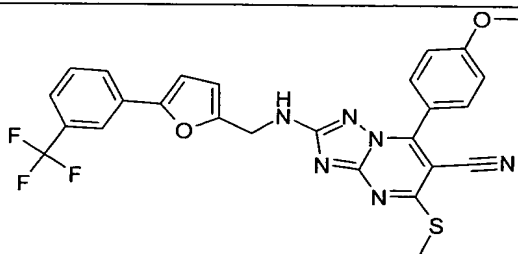


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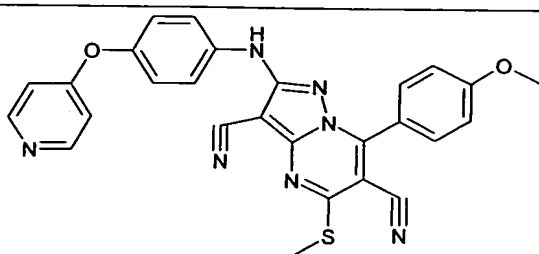
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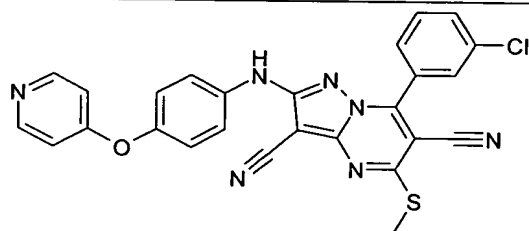


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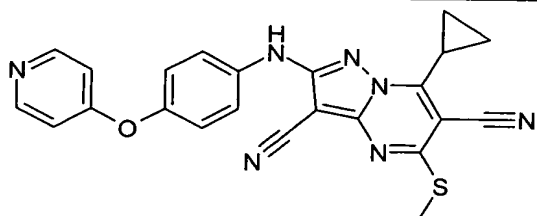
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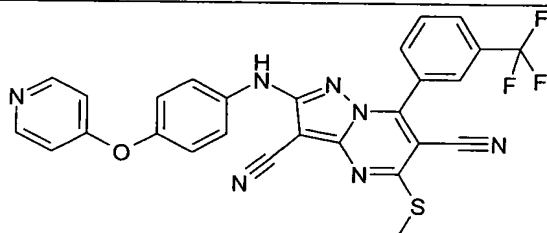
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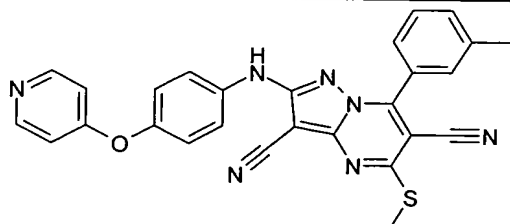
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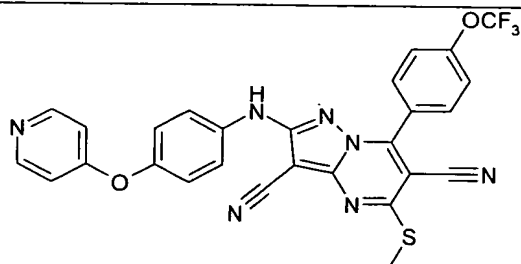
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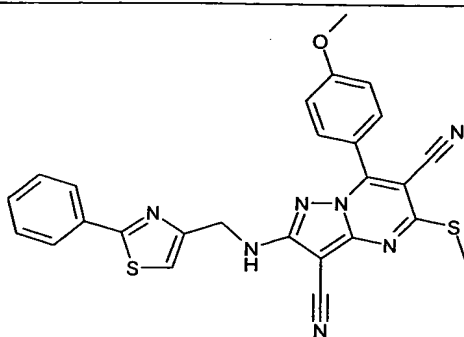


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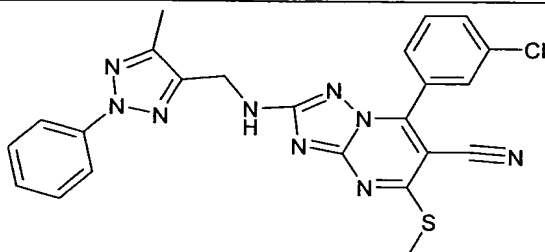


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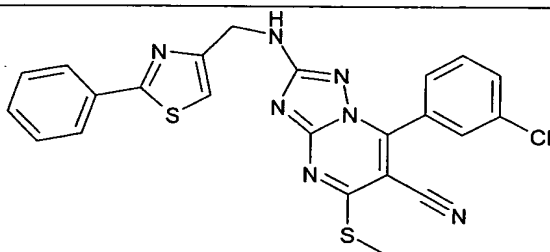
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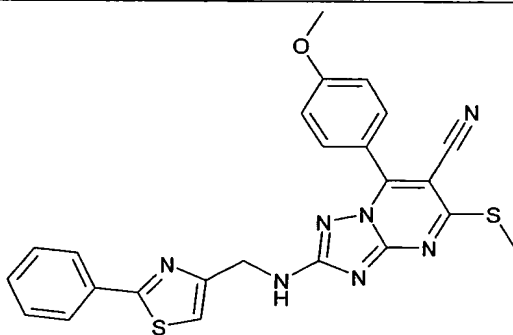


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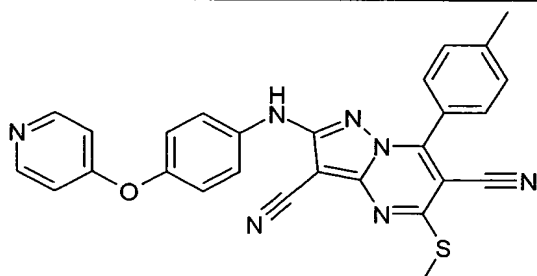
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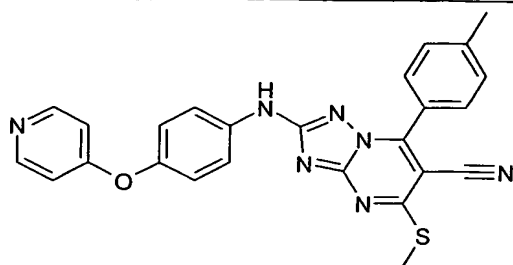


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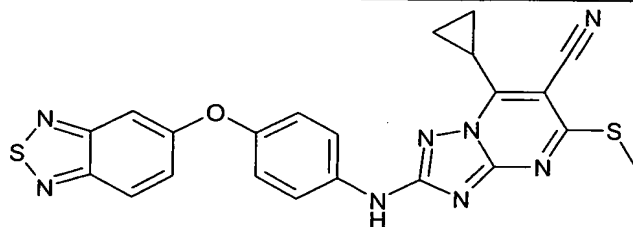
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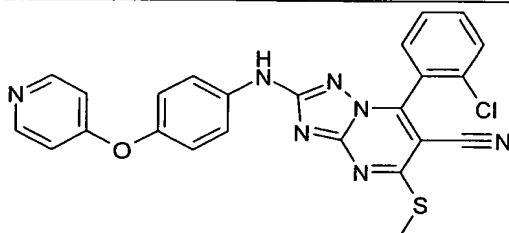
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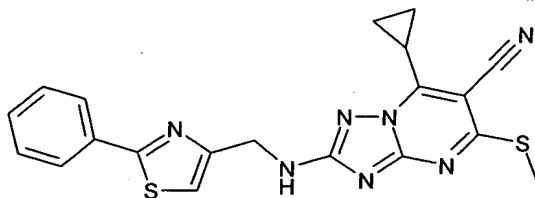
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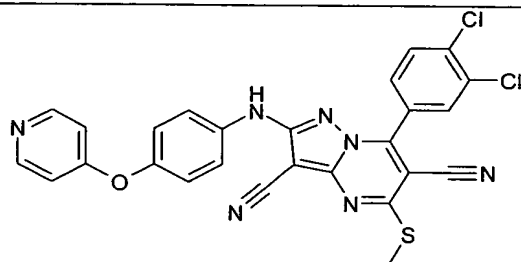
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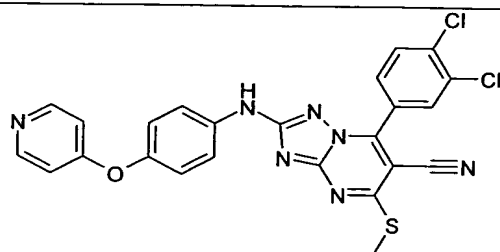
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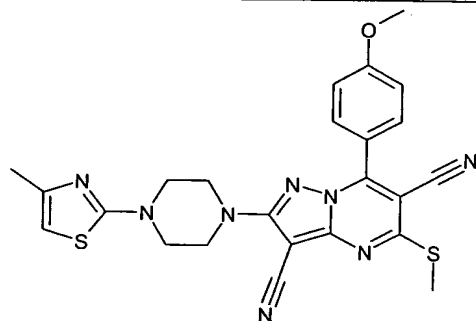
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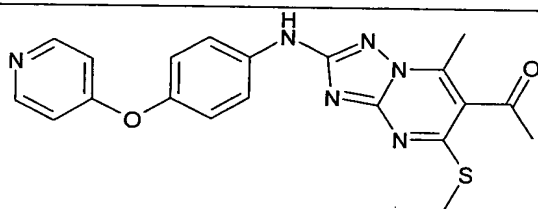
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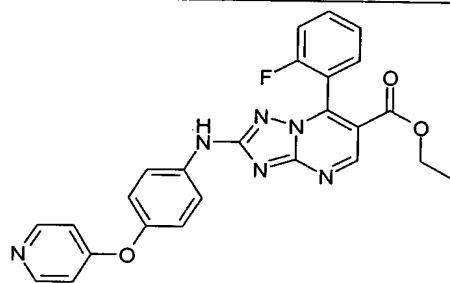


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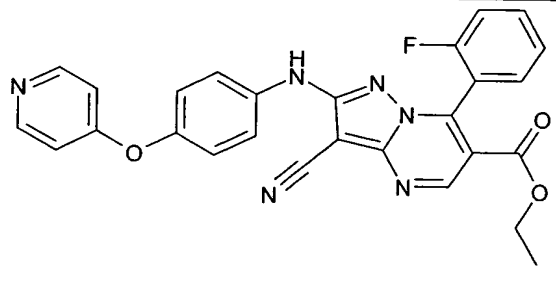


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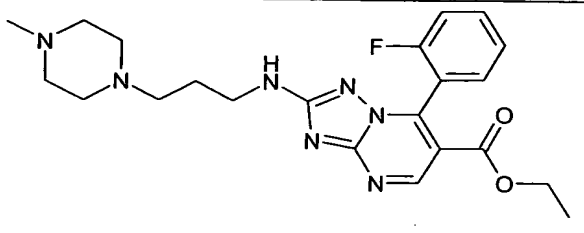


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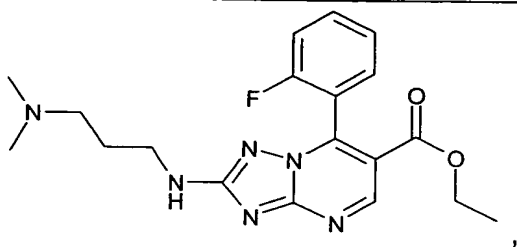


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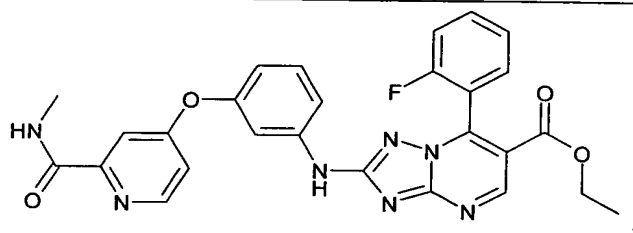
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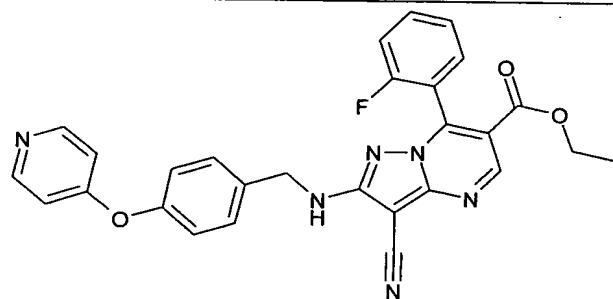
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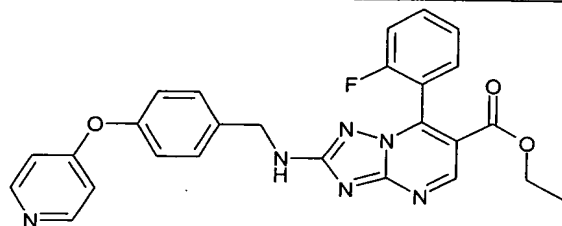


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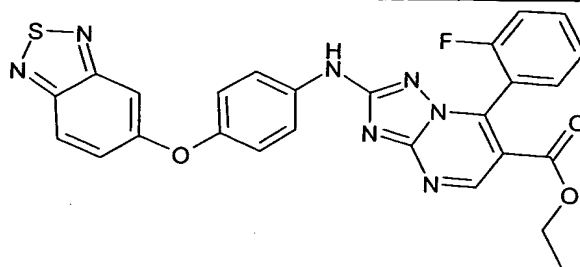
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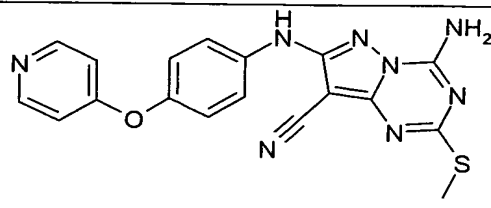


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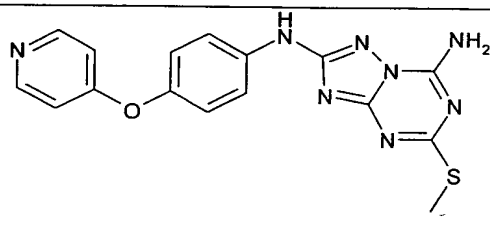
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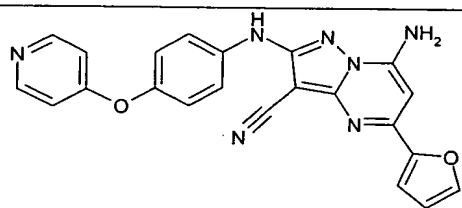
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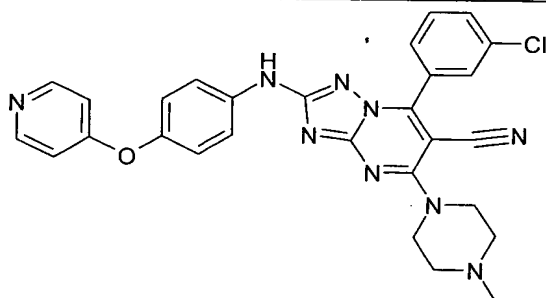
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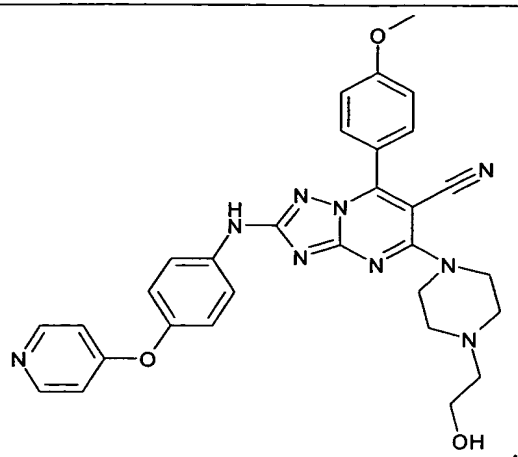
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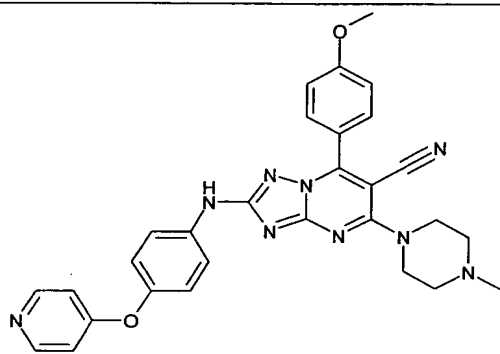
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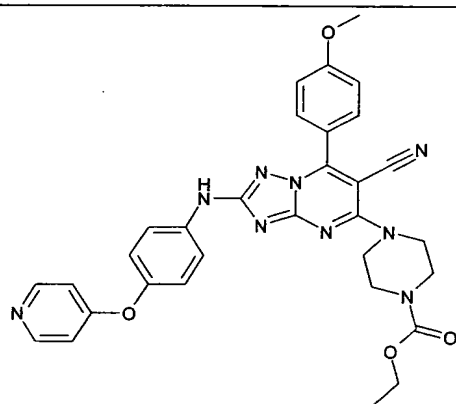
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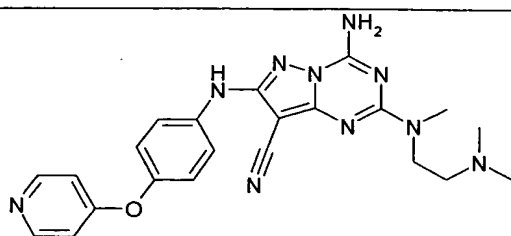
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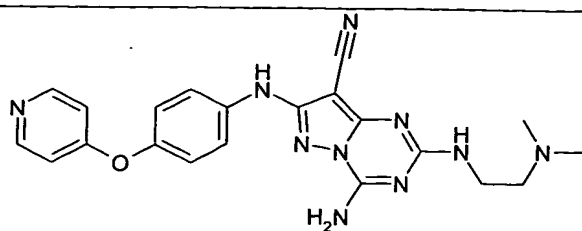


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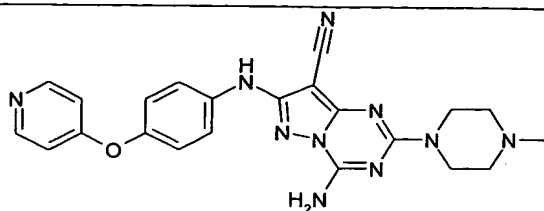
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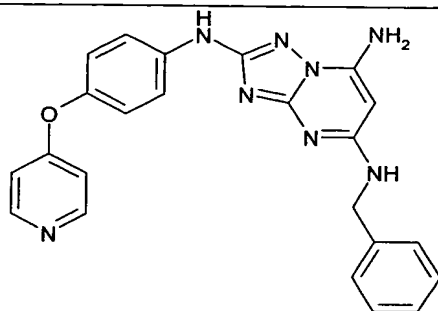
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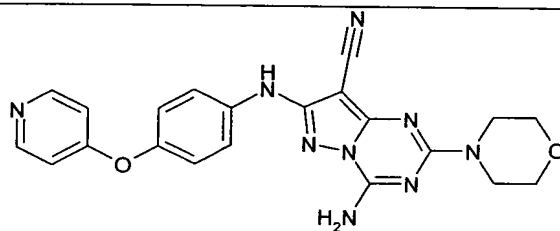
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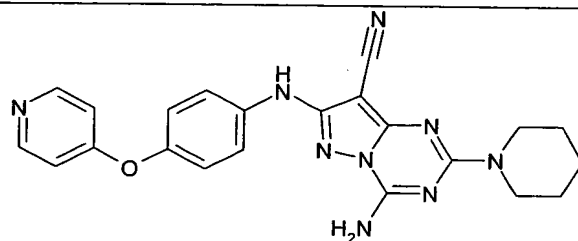
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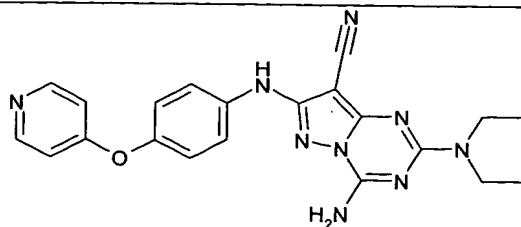
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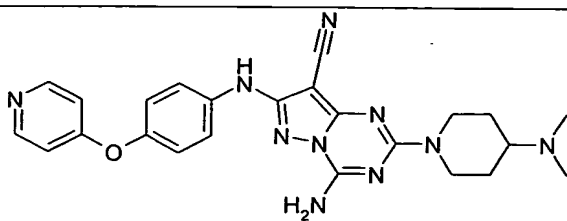


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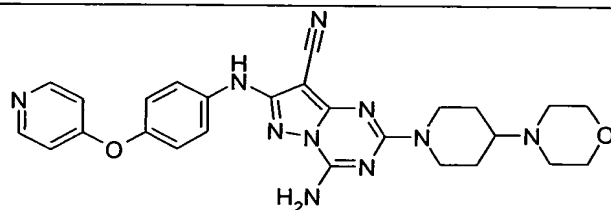


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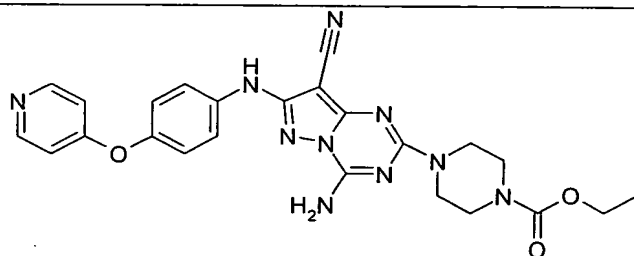
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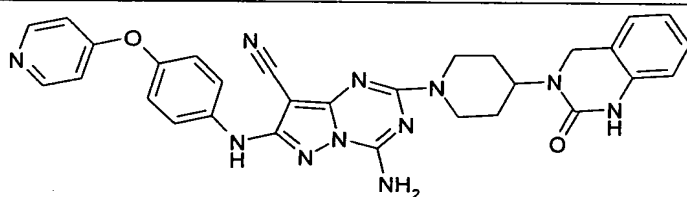
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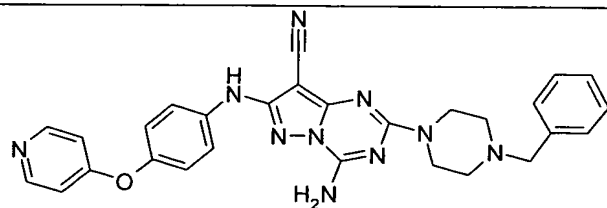
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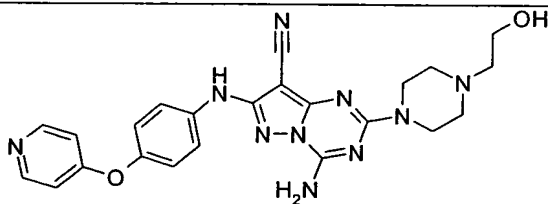
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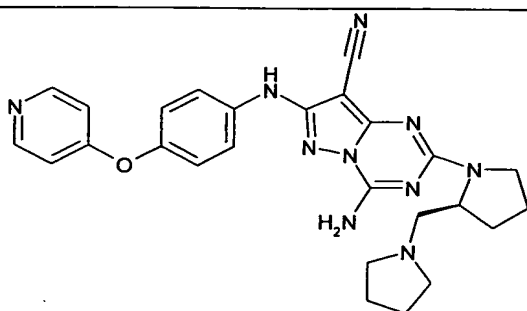


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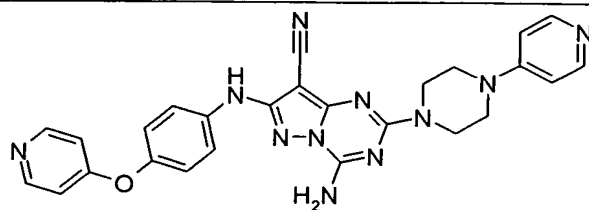


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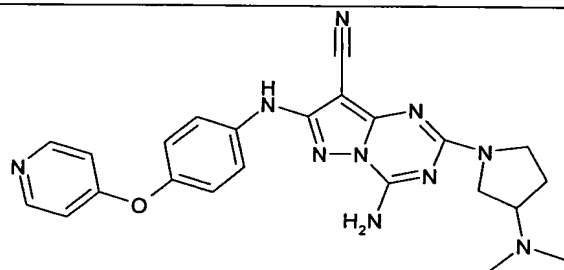
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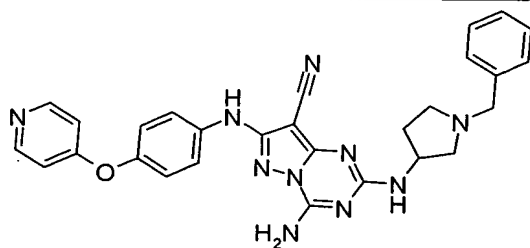
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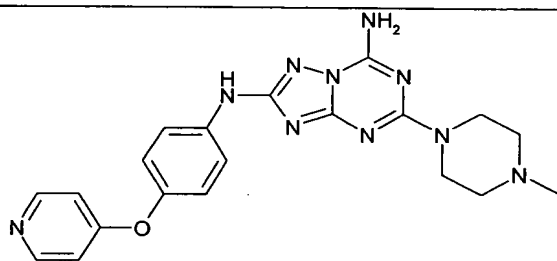


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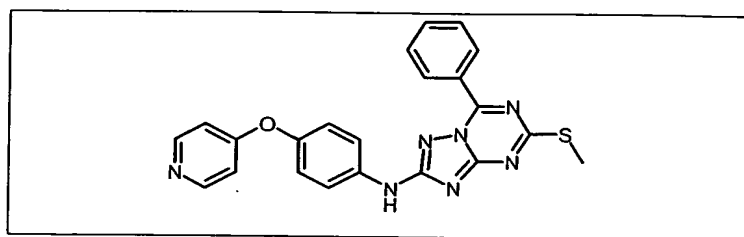


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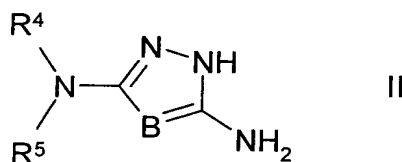


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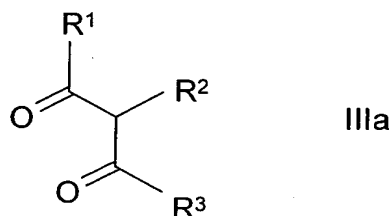
and pharmaceutically usable derivatives, solvates, tautomers, salts and stereoisomers thereof, including mixtures thereof in all ratios.

34. Process for the preparation of compounds of the formula I according to Claims 1-33 and pharmaceutically usable derivatives, salts, solvates, tautomers and stereoisomers thereof, characterised in that
- a) for the preparation of compounds of the formula I in which X denotes C, a compound of the formula II



in which R⁴, R⁵ and B have the meanings indicated in Claim 1,

- i) is reacted with a compound of the formula IIIa

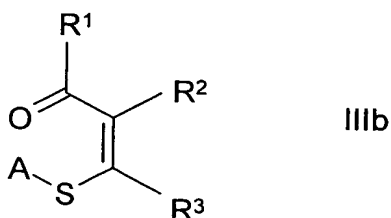


in which R¹ OA and

R² and R³ have the meanings indicated in Claim 1,

or

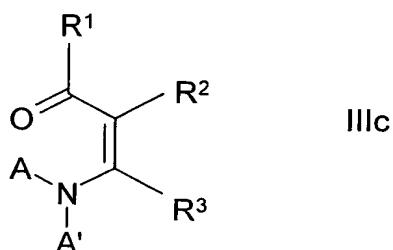
ii) with a compound of the formula IIIb



in which R¹, R² and R³ have the meanings indicated in Claim 1,
and A denotes alkyl having 1, 2, 3 or 4 C atoms,

15
or

iii) with a compound of the formula IIIc

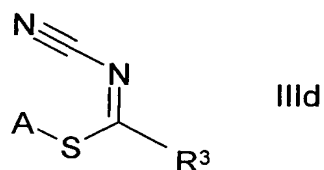


in which

30 R¹, besides the meanings indicated in Claim 1, also denotes OA,
R² and R³ have the meanings indicated in Claim 1,
and A, A' each, independently of one another, denote alkyl having 1,
2, 3 or 4 C atoms,
35 or A and A' together may also form a butylene or pentylene chain,

or

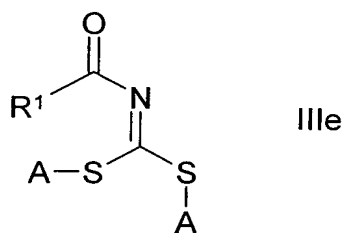
b) for the preparation of compounds of the formula I
 in which X denotes N and R¹ denotes NH₂,
 a compound of the formula II is reacted with a compound of the for-
 mula III d



in which R³ has the meaning indicated in Claim 1,
 and A denotes alkyl having 1, 2, 3 or 4 C atoms,

or

c) for the preparation of compounds of the formula I in which
 X denotes N,
 R¹ denotes H, A, -(CH₂)_m-Ar or -(CH₂)_m-Het²,
 R³ denotes -S-A
 a compound of the formula II is reacted with a compound of the for-
 mula III e



in which

R¹ denotes H, A, -(CH₂)_m-Ar or -(CH₂)_m-Het²
 and A denotes alkyl having 1, 2, 3 or 4 C atoms,

and/or that one or more radical(s) R^1 , R^2 and/or R^3 in a compound of the formula I is (are) converted into one or more radical(s) R^1 , R^2 and/or R^3 ,

by, for example,

- i) converting an alkylsulfanyl group into an amine,
- ii) hydrolysing an ester to the acid, reducing it to the aldehyde or alcohol,
- iii) reducing a nitrile to the aldehyde or amine,

and/or

a base or acid of the formula I is converted into one of its salts.

35. Medicaments comprising at least one compound of the formula I according to Claim 1 and/or pharmaceutically usable derivatives, salts, solvates, tautomers and stereoisomers thereof, including mixtures thereof in all ratios, and optionally excipients and/or adjuvants.

36. Use of compounds according to Claim 1 and pharmaceutically usable derivatives, salts, solvates, tautomers and stereoisomers thereof, including mixtures thereof in all ratios, for the preparation of a medicament for the treatment of diseases in which the inhibition, regulation and/or modulation of kinase signal transduction plays a role.

37. Use according to Claim 36, where the kinases are selected from the group of the tyrosine kinases.

38. Use according to Claim 37, where the tyrosine kinases are TIE-2, VEGFR, PDGFR, FGFR and/or FLT/KDR.

- 5 39. Use according to Claim 37 of compounds according to Claim 1, and pharmaceutically usable derivatives, solvates, tautomers and stereoisomers thereof, including mixtures thereof in all ratios, for the preparation of a medicament for the treatment of diseases which are influenced by inhibition of tyrosine kinases by the compounds according to Claim 1.
- 10 40. Use according to Claim 39 for the preparation of a medicament for the treatment of diseases which are influenced by inhibition of TIE-2, VEGFR, PDGFR, FGFR and/or FLT/KDR by the compounds according to Claim 1.
- 15 41. Use according to Claim 39 or 40, where the disease to be treated is a solid tumour.
- 20 42. Use according to Claim 41, where the solid tumour originates from the group of tumours of the squamous epithelium, the bladder, the stomach, the kidneys, of head and neck, the oesophagus, the cervix, the thyroid, the intestine, the liver, the brain, the prostate, the urogenital tract, the lymphatic system, the stomach, the larynx and/or the lung.
- 25 43. Use according to Claim 41, where the solid tumour originates from the group monocytic leukaemia, lung adenocarcinoma, small-cell lung carcinomas, pancreatic cancer, glioblastomas and breast carcinoma.
- 30 44. Use according to Claim 41, where the solid tumour originates from the group of lung adenocarcinoma, small-cell lung carcinomas, pancreatic cancer, glioblastomas, colon carcinoma and breast carcinoma.
- 35 45. Use according to Claim 39 or 40, where the disease to be treated is a tumour of the blood and immune system.

46. Use according to Claim 45, where the tumour originates from the group of acute myelotic leukaemia, chronic myelotic leukaemia, acute lymphatic leukaemia and/or chronic lymphatic leukaemia.

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47. Use according to Claim 39 or 40 for the treatment of a disease in which angiogenesis is implicated.

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48. Use according to Claim 47, where the disease is an ocular disease.

49. Use according to Claim 39 or 40 for the treatment of retinal vascularisation, diabetic retinopathy, age-induced macular degeneration and/or inflammatory diseases.

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50. Use according to Claim 49, where the inflammatory disease originates from the group rheumatoid arthritis, psoriasis, contact dermatitis and delayed hypersensitivity reactions.

20

51. Use according to Claim 39 or 40 for the treatment of bone pathologies, where the bone pathology originates from the group osteosarcoma, osteoarthritis and rickets.

25

52. Use of compounds of the formula I according to Claim 1 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament for the treatment of solid tumours, where a therapeutically effective amount of a compound of the formula I is administered in combination with a compound from the group 1) oestrogen receptor modulator, 2) androgen receptor modulator, 3) retinoid receptor modulator, 4) cytotoxic agent, 5) antiproliferative agent, 6) prenyl-protein transferase inhibitor, 7) HMG-CoA reductase inhibitor, 8) HIV protease inhibitor, 9) reverse transcriptase inhibitor and 10) another angiogenesis inhibitor.

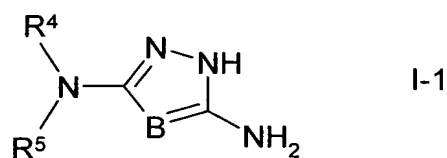
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53. Use of compounds of the formula I according to Claim 1 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament for the treatment of solid tumours, where a therapeutically effective amount of a compound of the formula I is administered in combination with radiotherapy and a compound from the group 1) oestrogen receptor modulator, 2) androgen receptor modulator, 3) retinoid receptor modulator, 4) cytotoxic agent, 5) anti-proliferative agent, 6) prenyl-protein transferase inhibitor, 7) HMG-CoA reductase inhibitor, 8) HIV protease inhibitor, 9) reverse transcriptase inhibitor and 10) another angiogenesis inhibitor.

54. Use according to Claim 39 or 40 for the preparation of a medicament for the treatment of diseases which are based on disturbed TIE-2 activity, where a therapeutically effective amount of a compound according to Claim 1 is administered in combination with a growth-factor receptor inhibitor.

55. Intermediate compounds of the formula I-1



in which

B denotes N, CH or C-CN,
 R^4 denotes $-(CH_2)_s-(Ar^1)_n-Y-R^6$,
 R^5 denotes H or CH_3 ,

R^4 and R^5 together also denote $Het^4-N \begin{matrix} \diagup CH_2-CH_2- \\ \diagdown CH_2-CH_2- \end{matrix}$,

R^6 denotes Het^4 , $-(CH_2)_r-NH_2$, $-(CH_2)_r-NHA$ or $-(CH_2)_r-NA_2$,

Y denotes O, S, (CH₂)_q or NH,
 Ar¹ denotes phenylene or piperazinediyl,
 Het⁴ denotes a mono- or bicyclic saturated, unsaturated or
 aromatic heterocycle having 1 to 4 N, O and/or S atoms,
 which may be unsubstituted or mono-, di- or trisubsti-
 tuted by Hal, A, CONH₂, CONHA, CONA₂ or Ar²,
 Ar² denotes phenyl which is unsubstituted or mono-, di- or
 trisubstituted by Hal, A, OH, OA, NH₂, NO₂, CN, COOH,
 COOA, CONH₂, NHCOA, NHCONH₂, NHSO₂A, CHO,
 COA, SO₂NH₂ or SO₂A,
 A denotes alkyl having 1 to 10 C atoms, where, in addition,
 1-7 H atoms may be replaced by F and/or chlorine,
 n denotes 0 or 1,
 q denotes 0, 1, 2, 3 or 4,
 r denotes 0, 1, 2, 3 or 4,
 s denotes 0, 1, 2, 3 or 4,
 Hal denotes F, Cl, Br or I,
 and, if Ar¹ denotes piperazinediyl, R⁶ may also denote H or alkyl hav-
 ing 1-6 C atoms,
 and solvates, salts, tautomers and stereoisomers thereof, including
 mixtures thereof in all ratios.

56. Intermediate compounds according to Claim 55
 in which

B denotes N, CH or C-CN,
 R⁴ denotes -(CH₂)_s-(Ar¹)_n-Y-R⁶,
 Y denotes O or (CH₂)_q,
 R⁵ denotes H or CH₃,

R⁴ and R⁵ together also denote Het⁴-N $\begin{matrix} \diagup & \text{CH}_2\text{-CH}_2\text{-} \\ & \text{CH}_2\text{-CH}_2\text{-} \end{matrix}$

R⁶ denotes Het⁴, -(CH₂)_r-NH₂, -(CH₂)_r-NHA or -(CH₂)_r-NA₂,

- 5 Het⁴ denotes pyridyl, benzo-1,2,5-thiadiazol-5-yl, piperazine, thiazole or imidazole, each of which is unsubstituted or monosubstituted by CONHA, A and/or Ar²,
Ar¹ denotes phenylene or piperazinediyl,
Ar² denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by A,
A denotes alkyl having 1 to 10 C atoms, where, in addition, 1-7 H atoms may be replaced by F and/or chlorine,
10 n denotes 0 or 1,
q denotes 0, 1, 2, 3 or 4,
r denotes 0, 1, 2, 3 or 4,
s denotes 0, 1, 2, 3 or 4,
15 Hal denotes F, Cl, Br or I,
and, if Ar¹ denotes piperazinediyl, R⁶ may also denote H or alkyl having 1-6 C atoms,
and solvates, salts, tautomers and stereoisomers thereof, including mixtures thereof in all ratios.

- 20 57. Intermediate compounds according to Claim 55 or 56, selected from the group
25 N-[4-(pyridin-4-yloxy)phenyl]-4H-1,2,4-triazole-3,5-diamine,
 N-{4-[2-(N-methylaminocarbonyl)pyridin-4-yloxy]phenyl}-4H-1,2,4-triazole-3,5-diamine,
 N-{3-[2-(N-methylaminocarbonyl)pyridin-4-yloxy]phenyl}-4H-1,2,4-triazole-3,5-diamine,
30 N-[4-(pyridin-4-yloxy)phenylmethyl]-4H-1,2,4-triazole-3,5-diamine,
 N-(5-methyl-2-phenyl-2H-1,2,3-triazol-4-ylmethyl)-4H-1,2,4-triazole-3,5-diamine,
35 N-(2-phenylthiazol-4-ylmethyl)-4H-1,2,4-triazole-3,5-diamine,

N-[4-(2-diethylaminoethoxy)phenyl]-4*H*-1,2,4-triazole-3,5-diamine,

N-[4-(benzo-1,2,5-thiadiazol-5-yloxy)phenyl]-4*H*-1,2,4-triazole-3,5-diamine,

N-[4-(pyridin-4-ylsulfanyl)phenyl]-4*H*-1,2,4-triazole-3,5-diamine,
5-amino-3-[4-(pyridin-4-yloxy)phenylamino]-1*H*-pyrazole-4-carbonitrile,

*N**3*-[4-(pyridin-4-yloxy)phenyl]-1*H*-pyrazole-3,5-diamine,

and solvates, salts, tautomers and stereoisomers thereof, including mixtures thereof in all ratios.